

**"MARKED UP VERSION" OF SPECIFICATION:**

Pages 7-8 of Specification

Amylostatins  
and semisynthetic  
analogues

ACARBOSE

defined as "acarbose and higher homologues"\*

ACARBOSE

Compound disclosed specifically in DE-2347782. The following are  
homologues of acarbose:

These specific compounds are disclosed in GB-1,482,543, wherein:

X	M	N	GB-1,482,543 ref
OH	0	0	Component II
OH	0	1	Component III
OH	0	2	Component IV
OH	0	3	Component V
OH	0	4	Component VI
OH	0	5	Component VII
OH	0	6	Component VIII

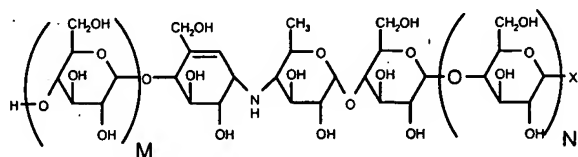
These specific compounds are disclosed in Agric. Biol. Chem.,46 (7), 1941-  
1945, 1982, wherein:

Compounds  
disclosed  
generically  
and  
specifically in  
GB1,482,543  
: US  
4,175,123;  
and in  
Agric. Biol.  
Chem.,46 (7),  
1941-1945,  
1982

EXPRESS MAIL NO. EV3128684005

<u>X</u>	<u>M</u>	<u>N</u>	<u>Agr. Biol. Chem ref</u>
<u>OH</u>	<u>0</u>	<u>0</u>	<u>Compound 1</u>
<u>OH</u>	<u>0</u>	<u>1</u>	<u>Compound 2</u>
<u>OH</u>	<u>0</u>	<u>2</u>	<u>Compound 3</u>
<u>OH</u>	<u>1</u>	<u>0</u>	<u>Compound 4</u>
<u>OH</u>	<u>1</u>	<u>1</u>	<u>Compound 5</u>
<u>OH</u>	<u>1</u>	<u>2</u>	<u>Compound 6</u>

The following semi-synthetic acarbose analogues, generically and specifically disclosed in US-4,175,123



wherein M=0 to 8, and the sum of M+N is 0 to 7; X is OR, SH, SR, NH<sub>2</sub>, NHR, or NRR<sup>1</sup>, where R is alkyl, alkenyl, cycloalkyl, aralkyl, aryl or heterocyclyl wherein:

alkyl is preferably straight-chain or branched alkyl with 1 to 30, especially 1 to 18, carbon atoms (e.g. methyl, ethyl, n-propyl, l-propyl, n-butyl, t-butyl, n-hexyl, n-octyl, octyl-2, dodecyl, lauryl, cetyl and stearyl), wherein the alkyl radicals R can carry one or more, preferably 1 to 5, identical or different substituents (e.g.. hydroxyl, or alkoxy, with preferably 1 to 4 carbon atoms, methoxy and ethoxy; amino or monoalkylamino and dialkylamino, with preferably 1 to 4 carbon atoms per alkyl radical, monomethylamino, monoethylamino, dimethylamino, and diethylamino; mercapto or alkylthio, with preferably 1 to 4 carbon atoms, methylthio and ethylthio; halogen (preferably fluorine, chlorine and bromine) ;alkylcarbonyl, with preferably 1 to 4 carbon atoms in the alkyl radical; and carboxyl, nitro, cyano, the aldehyde group and the sulphonic acid group;

alkenyl is preferably straight-chain or branched alkenyl with 2 to 6 carbon atoms, with optional substituents (e.g. hydroxyl, alkoxy with 1 to 4 carbon atoms, mercapto, alkylthio with 1 to 4 carbon atoms, halogen (preferably fluorine, chlorine and bromine) or nitro);

cycloalkyl, preferably a carbocyclic radical with 3 to 7 ring carbon atoms (preferably 5 to 7 ring carbon atoms), which can be substituted, (e.g. the groups and atoms mentioned above in the case of open-chain hydrocarbon radicals R);

aryl is preferably a monocyclic or bicyclic aromatic radical with 6 to 10 carbon atoms in the aryl part (e.g. phenyl, biphenyl, naphthyl, etc., in particular phenyl, which can be substituted), optionally substituted aryl or aralkyl radicals, preferably 1 to 3 identical or different substituents (e.g. alkyl with 1 to 10 carbon atoms, optionally substituted, (e.g. chlorine, nitro or cyano); optionally substituted alkenyl radicals with 1 to 10 carbon atoms; hydroxyl or alkoxy with preferably 1 to 4 carbon atoms; amino or monoalkylamino and dialkylamino with preferably 1 to 4 carbon atoms per alkyl radical; mercapto or alkylthio with preferably 1 to 4 carbon atoms; and carboxyl or carbalkoxy with preferably 1 to 4 carbon atoms; the sulphonic acid group, alkylsulphonyl with preferably 1 to 4 carbon atoms and arylsulphonyl, preferably phenylsulphonyl; aminosulphonyl or alkylaminosulphonyl and dialkylaminosulphonyl with 1 to 4 carbon atoms per alkyl group, preferably methylaminosulphonyl and dimethylaminosulphonyl; nitro, cyano or the aldehyde group; alkylcarbonylamino with preferably 1 to 4 carbon atoms; and alkylcarbonyl with 1 to 4 carbon atoms, benzoyl, benzylcarbonyl and phenethylcarbonyl, the last-mentioned alkyl, phenyl, benzyl and phenethyl radicals may be optionally substituted (e.g. chlorine, nitro or hydroxyl, as well as radicals derived from sugars);

aralkyl preferably has 6 to 10, especially 6, carbon atoms in the aryl part said aryl part being preferably monocyclic or bicyclic carbocyclic aryl, such as phenyl, biphenyl or naphthyl, and preferably 1 to 4, especially 1 or 2, carbon atoms in the alkyl part, as for example in benzyl or phenylethyl. Possible substituents for the aryl part of the aralkyl radical are preferably those substituents mentioned for the aryl radicals R above;

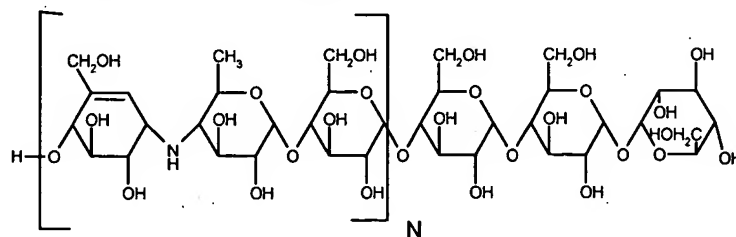
Heterocyclyl preferably has a hetero-paraffinic, heteroaromatic or hetero-olefinic 5-membered or 6-membered ring, with preferably 1 to 3 identical or different hetero-atoms (e.g. oxygen, sulphur or nitrogen), optionally substituted (e.g. hydroxyl, amino, C<sub>1</sub>-C<sub>4</sub>-alkyl groups, benzene nuclei or further, preferably 6-membered, heterocyclic rings of the type mentioned can be fused to them, wherein the bonding of the heterocyclic radical R is effected via a carbon atom of the heterocyclic system or of the fused benzene nucleus (preferred

heterocyclic radicals are derived, e.g., from furan, pyran, pyrrolidine, piperidine, pyrazole, imidazole, pyrimidine, pyridazine, pyrazine, triazine, pyrrole, pyridine, benzimidazole, quinoline, isoquinoline or purine, including those heterocycles which are bonded via a  $-CH_2-$  bridge outside the ring, for example the furfuryl radical));

wherein  $R_1$  of  $NRR^1$ , is alkyl, cycloalkyl, aralkyl, or aryl in which  $R_1$  preferably represents a straight-chain or branched alkyl radical with 1-6 carbon atoms or a cycloalkyl, aralkyl or aryl radical as defined above for R (e.g. cyclopentyl, cyclohexyl, benzyl or phenyl radical), it being possible for the radicals mentioned to be preferably substituted by alkoxy with 1 to 4 carbon atoms, amino,  $C_1-C_4$  monoalkylamino and  $C_1-C_4$ -dialkylamino, nitro, cyano, hydroxyl, mercapto,  $C_1-C_4$ -thioalkyl or the carboxyl or sulphonic acid group, in the case where  $R_1$  denotes phenyl, also by  $C_1-C_4$ -alkyl;

wherein R and  $R_1$  and the nitrogen atom to which they are bonded, may optionally form a heterocyclic ring, optionally saturated or unsaturated, the ring optionally containing 1 to 3 further (preferably 1) oxygen atoms, sulphur atoms or nitrogen atoms and, as hetero groups, a  $SO_2$  group or a N-alkyl group, the alkyl (e.g. methyl, ethyl, n- and i-propyl and n-, l- and t-butyl) in the N-alkyl group preferably containing 1-4, in particular 1 or 2, carbon atoms; wherein the heterocyclic ring contains 5-7, preferably 5 or 6, ring members. The 6-membered heterocyclic ring preferably contains the hetero-atom or the hetero-group in the para-position relative to the nitrogen atom (e.g. pyrrolidine, piperidine, hexamethyleneimine, morpholine and N-methylpiperazine).

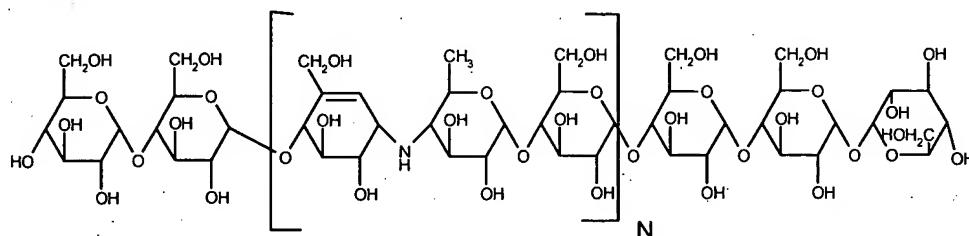
J. Antibiotics **36 p1157-1165 (1983)** discloses the fermentation and isolation of a family of amylase inhibitors, trestatin-A, B and C. J. Antibiotics **36 p1166-1175 (1983)** discloses the structures of trestatin-A, B and C



Trestatin A, N = 2  
Trestatin B N = 1  
Trestatin C N = 3

Trestatine,  
e.g. — these  
described in:  
J. Antibiotics  
36: — 1157-  
1165, (1983)  
J. Antibiotics  
36: — 1166-  
1175, (1983)  
And  
compounds  
described in

J. Antibiotics 37 p182-186 (1984) describes the isolation, characterisation and structure elucidation of higher homologues of the trestatins. The structures disclosed are:



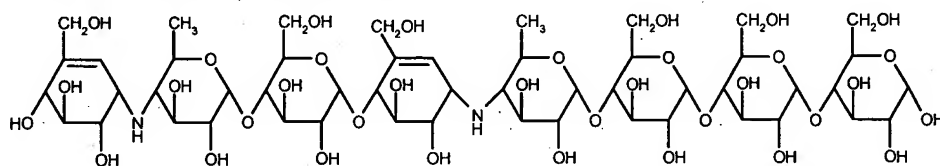
Ro 09-0766, N = 3

Ro 09-0767, N = 2

Ro 09-0768, N = 1

*J. Antibiotics*  
37(2): 182-  
186, (1984)

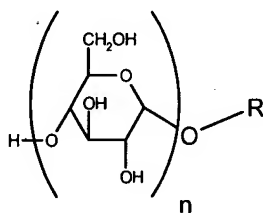
The amylase inhibitor, V-1532, is prepared and characterized as described in J.Mol. Biol. 260, 409-421, (1996).



V-1532

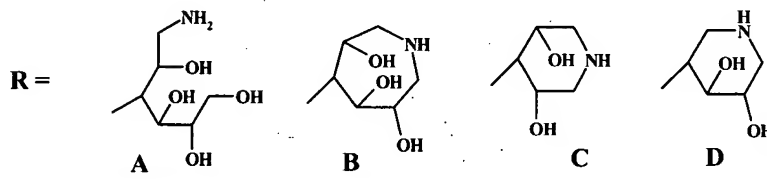
V-1532  
described in  
*J.Mol. Biol.*  
260, 409-  
421, (1996)

Chem. Pharm. Bull 47(2), 187-193 (1999) describes the synthesis of the following N-containing maltooligosaccharides with  $\alpha$ -amylase activity.



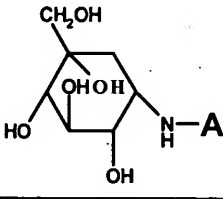
n = 0-4

R = 4 definitions of N-containing moieties



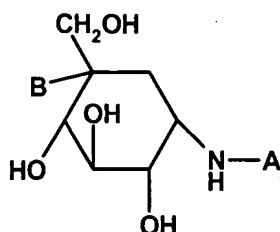
compounds  
described in  
*Chem. Pharm.*  
*Bull* 47(2),  
187-193  
(1999);  
JP2000044589  
A

R	n	Chem. Pharm Bull
A	0	Compound 6
A	1	Compound 7
A	2	Compound 8
A	3	Compound 9

A	3	Compound 9	
A	4	Compound 10	
B	0	Compound 11	
B	1	Compound 12	
B	2	Compound 13	
B	3	Compound 14	
B	4	Compound 15	
C	0	Compound 16	
C	1	Compound 17	
C	2	Compound 18	
C	3	Compound 19	
C	4	Compound 20	
D	1	Compound 22	
D	2	Compound 23	
D	3	Compound 24	
<p><b>Amylase inhibitor SA-1</b></p> <p><u>Agric. Biol. Chem, 41(11) 2221-2228 (1977) describes the fermentation, recovery and isolation of the microbial natural product amylase inhibitor, SA-1. Although the structure of SA-1 is unknown, the compound has been shown to be homogeneous by tlc and is characterized by analytical data.</u></p>			<p>SA-1 Described in <i>Agric. Biol. Chem</i>, 41(11) 2221-2228 (1977)</p>
<p><b>Extract from <i>Streptomyces</i> Strain DMC-72</b></p> <p><u>Kor. J. Mycol. Vol 13, No.4, 203-212, (1985) describes the fermentation and purification of a microbial natural product <math>\alpha</math>-amylase inhibitor from culture filtrates of <i>Streptomyces</i> strain DMC-72. The compound is characterized by analytical data.</u></p>			<p>Described in <i>Kor. J. Mycol.</i> Vol 13, No.4, 203-212, (1985)</p>
<p><b>EP-194794 (WO-8605094 PCT equivalent) reports the structures of a number of N-substituted valiolamine derivatives, referring to EP-56194 for their synthesis. The compounds have the structure:</b></p> <div style="text-align: center;">  </div> <p><u>in which A is an acyclic hydrocarbon group of 1 to 10 carbon atoms which may have one or more members selected from the group consisting of hydroxy, phenoxy, thienyl, furyl, pyridyl, cyclohexyl, and a substituted or unsubstituted phenyl; a five- to six-membered cyclic hydrocarbon group which may have one or more members selected from the group consisting of hydroxy,</u></p>			<p>Compounds disclosed in JP159657; ES8800955A; WO8605094A; and EP194794A</p>

hydroxymethyl, methyl and amino, or a saccharide residue.

**ES-8800955** describes valioline and validamine analogues with the structures:

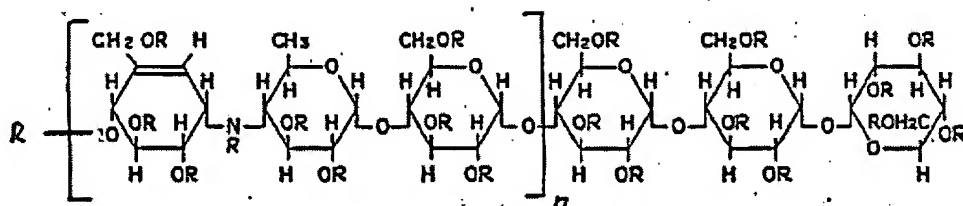


in which A is a hydrocarbon group of 1 to 10 carbon atoms, optionally substituted with hydroxy, phenoxy, thienyl, furyl, pyridyl, cyclohexyl; or a phenyl group optionally substituted; or a cyclic hydrocarbon of 3 – 7 carbon atoms, optionally substituted with hydroxyl, and B is hydrogen or hydroxyl.

Trestatin sulphate salts

EP-301-400-A

**EP-301400** (US equivalent – **US-4885361**) describes the sulphation of the trestatins to give sulphated oligosaccharides with structures:

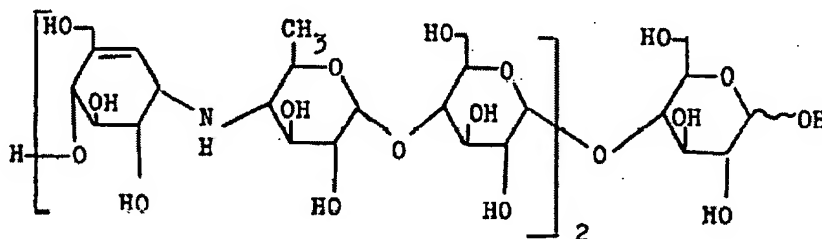


wherein n is a whole number from 1 – 3; R is hydrogen or a residue –SO<sub>3</sub>M and M is a cation; and in which the degree of sulphation is at least 1.

Pseudo-oligosaccharide from *Streptomyces* sp. FH 1717 (DSM 3006)

see  
EP-173950A

**EP-173950** describes the fermentation, recovery and isolation of the pseudooligosaccharide  $\alpha$ -glycosidase inhibitor from *Streptomyces* sp. FH-1717 (DSM-3006). This compound has the structure shown:

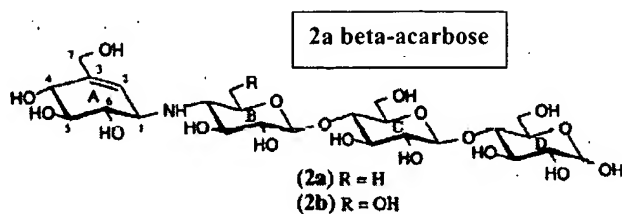


compounds  
disclosed in

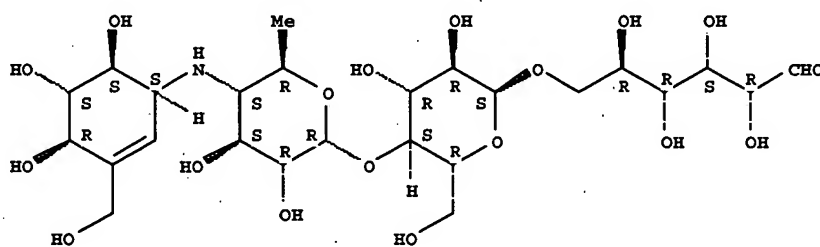
<p>EP-49981 discloses the synthesis of some N-substituted valienamine derivatives:</p> <div data-bbox="630 289 883 499" data-label="Chemical-Block"> </div> <p>in which A is a chain hydrocarbon group having 1 to 10 carbon atoms optionally substituted by hydroxyl, phenoxy, thienyl, furyl, pyridyl, cyclohexyl or phenyl optionally substituted by hydroxyl, lower alkoxy, lower alkyl, halogen or carboxyl; or a cyclic hydrocarbon group having 3 to 7 carbon atoms optionally substituted by hydroxyl.</p>	<p>EP-49981</p>
<p>Angewandte Chemie Int. Ed. 20, 744-761 (1981) reviews the chemistry of microbial derived <math>\alpha</math>-glucosidase inhibitors. The oligosaccharides are described elsewhere in this specification. The properties of the low molecular weight inhibitors, nojirimycin and 1-deoxynojirimycin, are reported.</p> <div data-bbox="462 1031 1055 1255" data-label="Chemical-Block"> <div style="display: flex; justify-content: space-around; margin-top: 10px;"> <span>nojirimycin</span> <span>1-deoxynojirimycin</span> </div> </div>	<p>amylase inhibitors disclosed in Angew. Chem. Int. Ed. 20, 744-761, (1981).</p>
<p>Example 7, Fraction 21 compound</p> <p>The fermentation, recovery, resin and HPLC purification, and nmr assignment of an oligosaccharide amylase inhibitor from <i>Streptomyces conglobatus</i>, ATCC-31005 is described in Example 7 of the Experimental section of this specification.</p>	<p>see below</p>
<p>Example 8 compound</p> <p>The fermentation, recovery, resin and HPLC purification, and nmr assignment of a novel oligosaccharide amylase inhibitor from <i>Streptomyces conglobatus</i>, ATCC-31005 is described in Example 8 of the Experimental section of this specification.</p>	<p>see below</p>
<p>Iso-acarbose, and B-acarbose and related structures described in—</p> <p>Tetrahedron Letters, 37, 14, 2479-2482 (1996) describes the synthesis of <math>\beta</math>-</p>	<p>Tetrahedron Letters, Vol. 37, No14, 2479-2482</p>



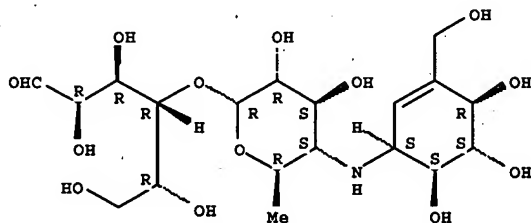
acarbose from 1-epivalienamine.



Both isoacarbose and acarviosine-glucose can be produced by the enzymic transformation of acarbose, as reported in Archives Biochem. Biophys, 371, 2, 277-283 (1999).

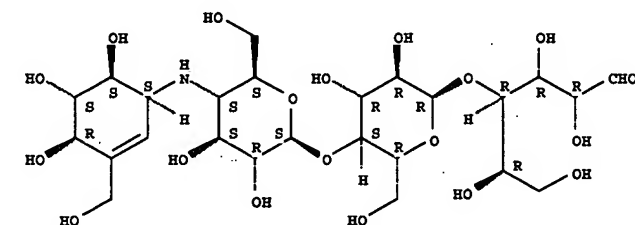


isoacarbose



acarviosine-glucose

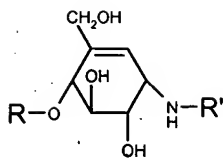
The synthesis of adiposin-2 is reported in JCS Chem. Comm., 9, 605-606 (1988)



adiposin-2

p2479-2482,  
(1996)  
*Archives of  
Biochemistry  
and  
Biophysics*,  
Vol 371, No.2,  
p277-283,  
(1999)  
*J. Chem. Soc.  
Chem.  
Commun.*  
No.9, p605-  
606 (1988)

Pages 10-19 of the Specification:



certain compounds with the moiety shown above appear in Chemical Abstracts\* with the Registry Numbers (RN) shown below.

1	RN	257941-10-9	REGISTRY
2	RN	257936-25-7	REGISTRY
3	RN	250161-57-0	REGISTRY
4	RN	244195-46-8	REGISTRY
5	RN	227087-68-5	REGISTRY
6	RN	223611-34-5	REGISTRY
7	RN	223608-57-9	REGISTRY
8	RN	223608-52-4	REGISTRY
9	RN	221371-17-1	REGISTRY
10	RN	211247-58-4	REGISTRY
11	RN	211247-57-3	REGISTRY
12	RN	211247-56-2	REGISTRY
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40	RN	176389-23-4	REGISTRY

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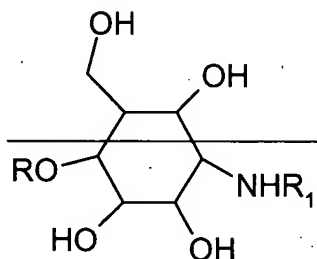
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109	RN	105580-86-7	REGISTRY
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DR		114779-27-0	
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113	RN	102069-51-2	REGISTRY
114	RN	101401-49-4	REGISTRY
115	RN	101144-24-5	REGISTRY
116	RN	101144-22-3	REGISTRY
117	RN	99746-06-2	REGISTRY
118	RN	89920-25-2	REGISTRY
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125	RN	89498-88-4	REGISTRY
126	RN	87037-90-9	REGISTRY
127	RN	87037-36-3	REGISTRY
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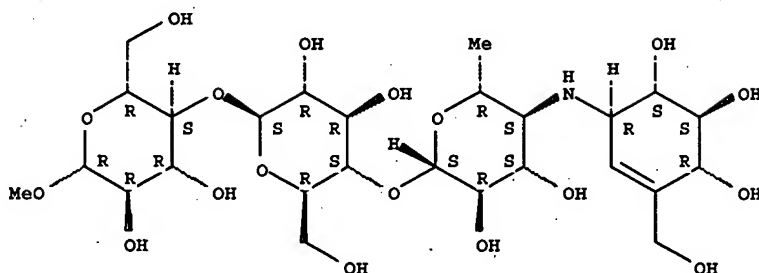


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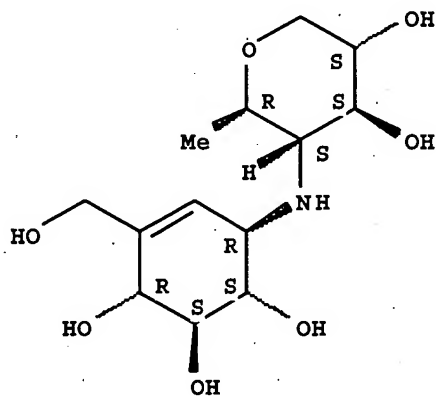
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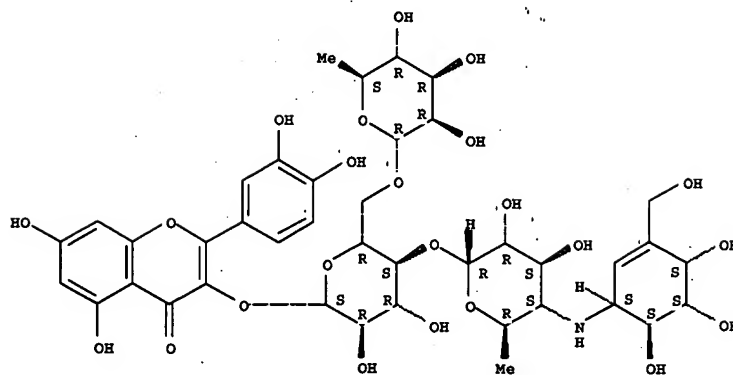
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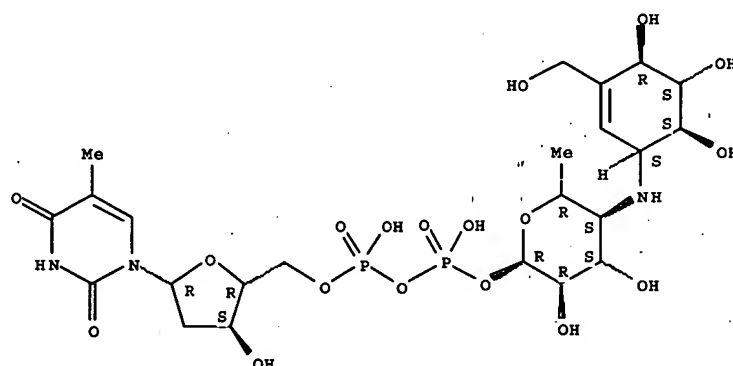
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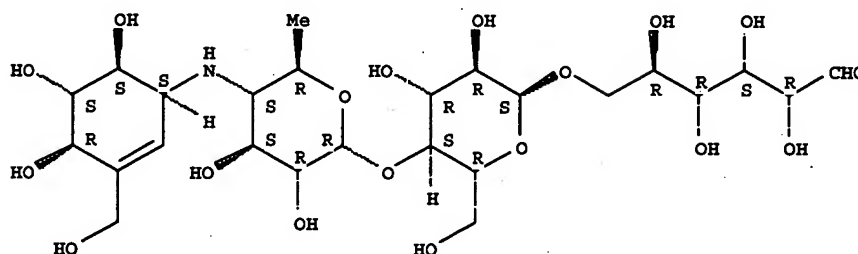
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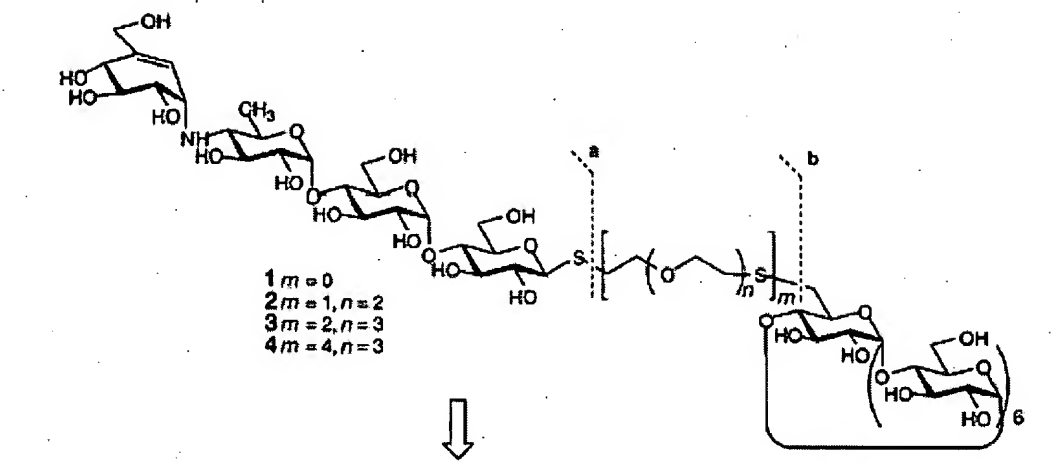
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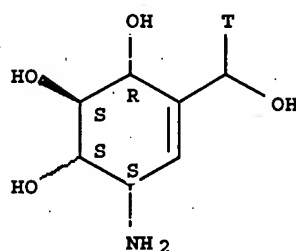
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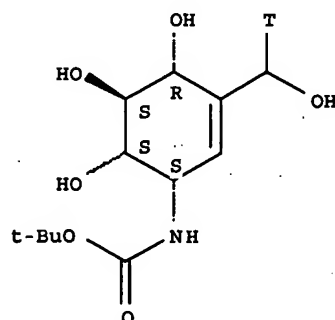
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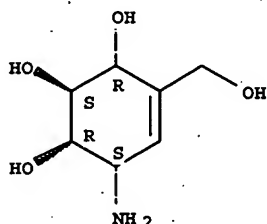
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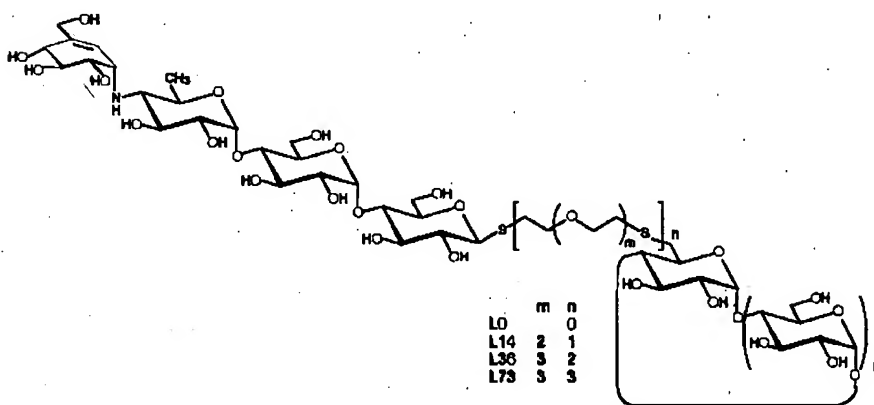
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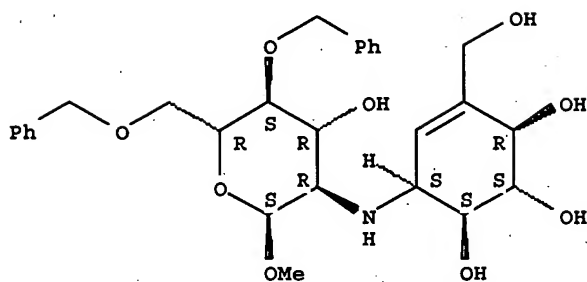
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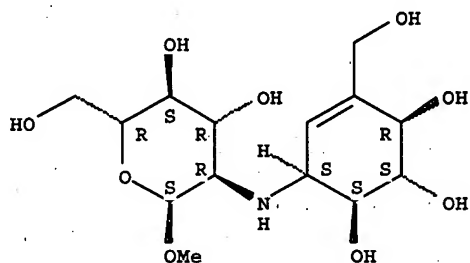
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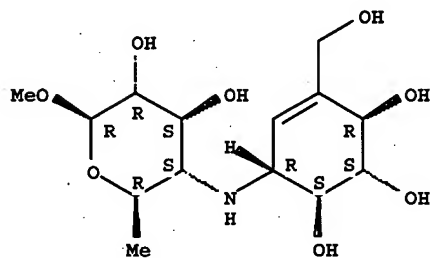
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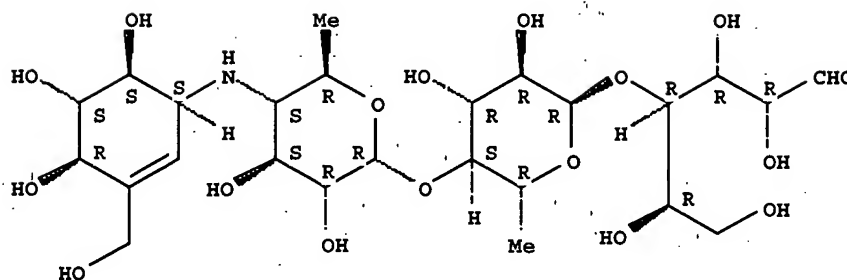
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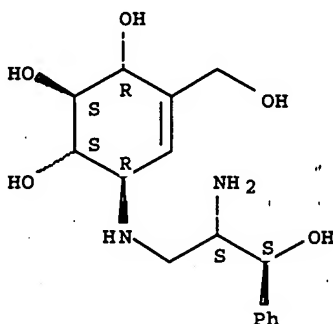
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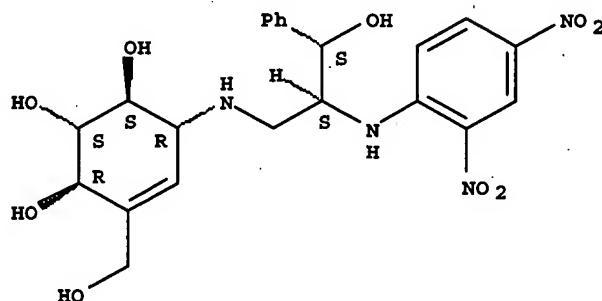
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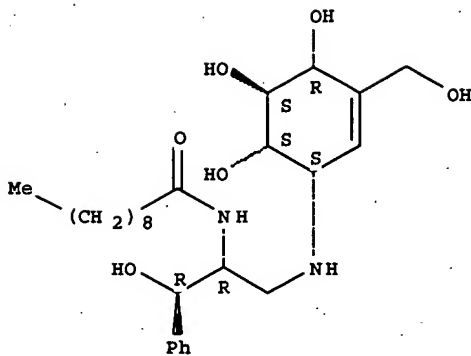
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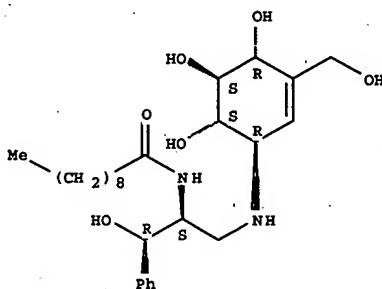
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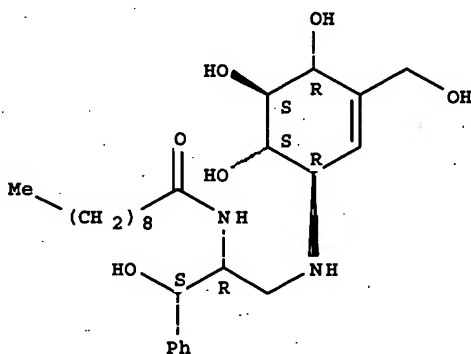
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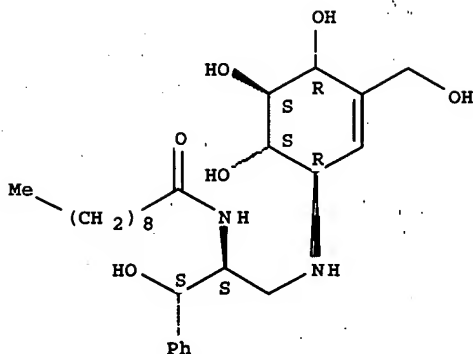
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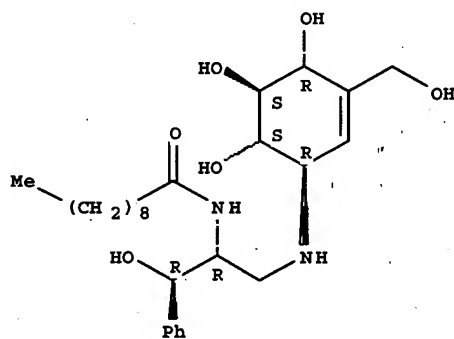
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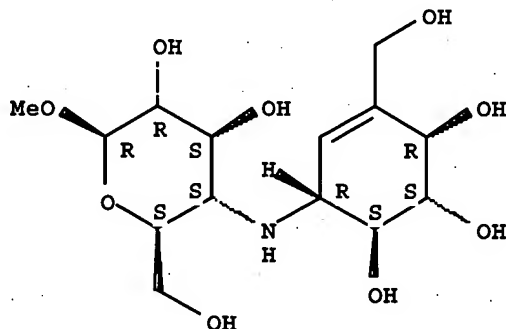
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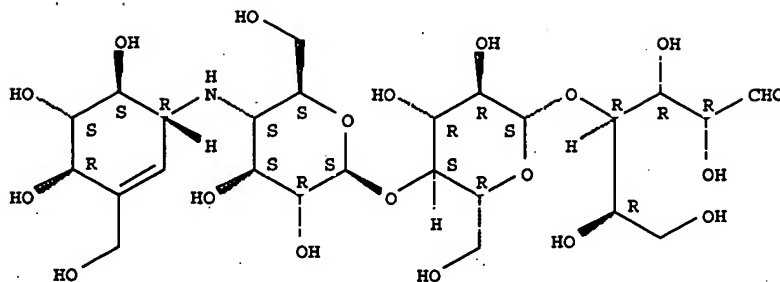
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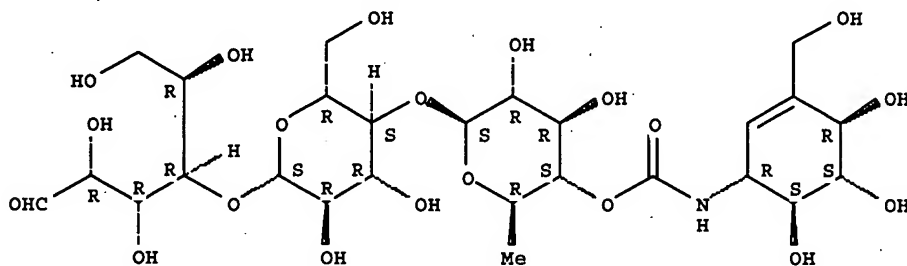


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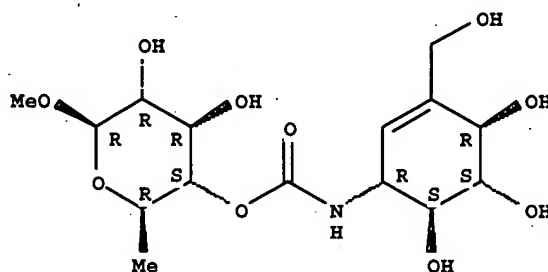
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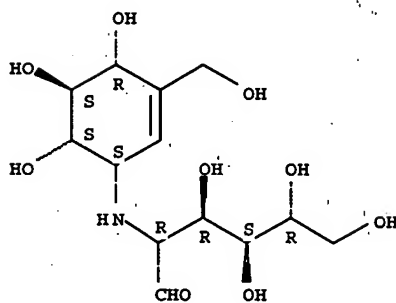
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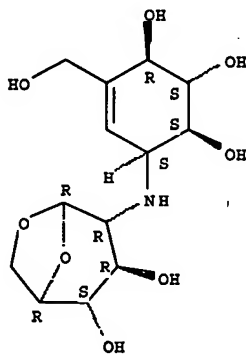
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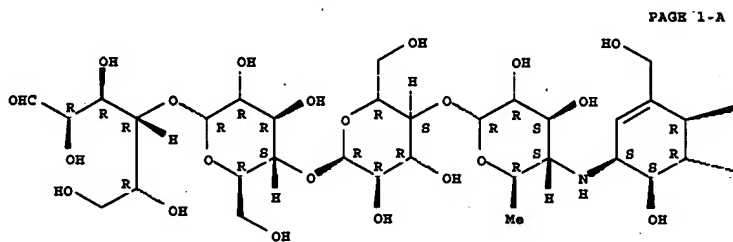
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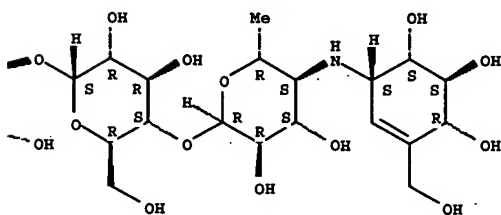
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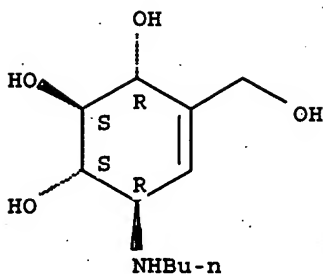
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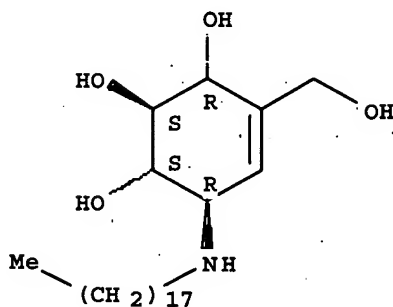
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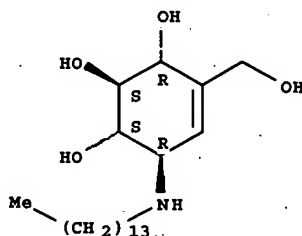
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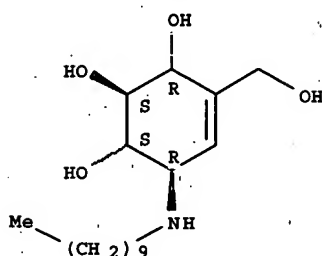
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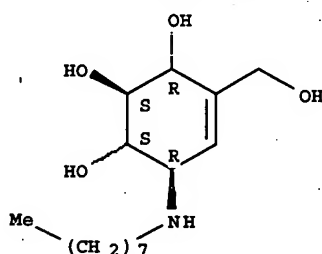
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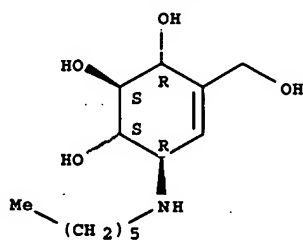
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RN-177898-42-9



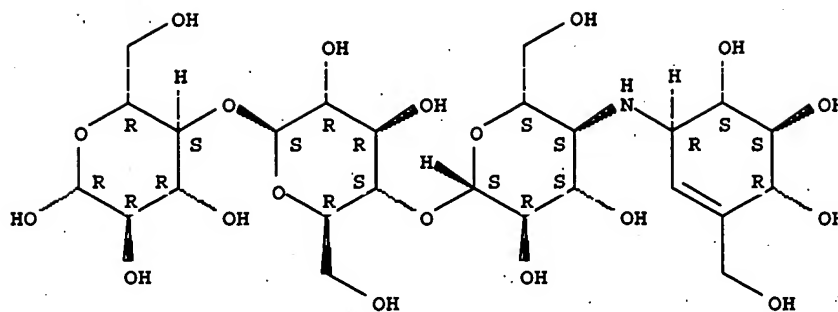
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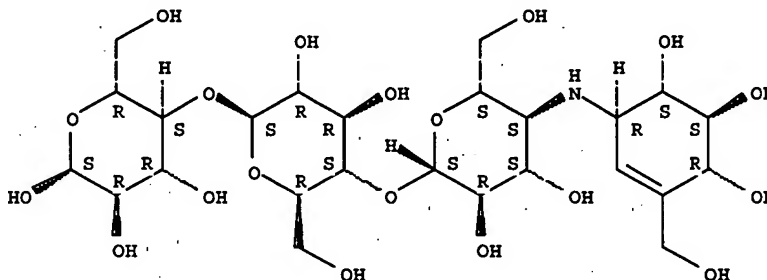
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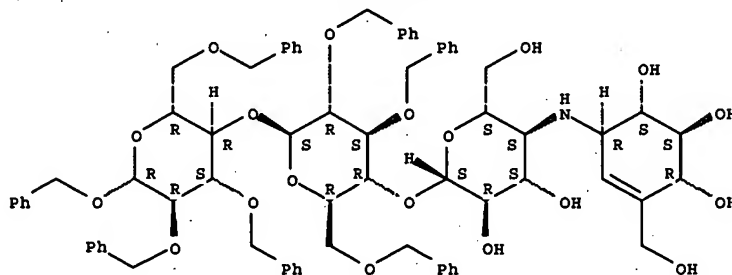
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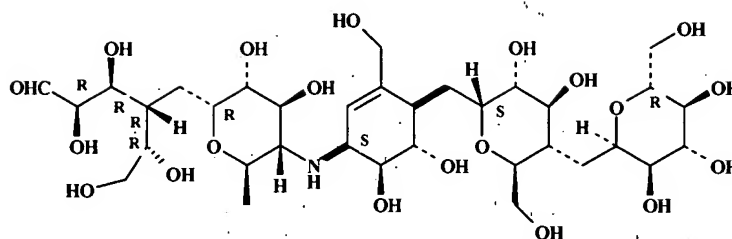
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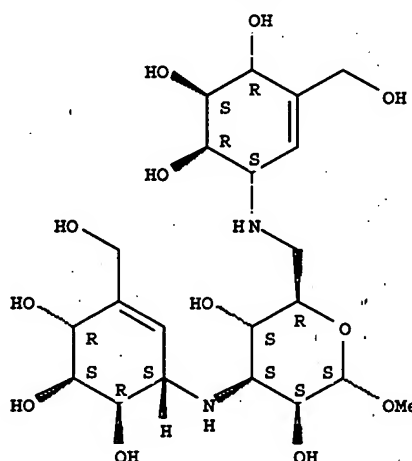
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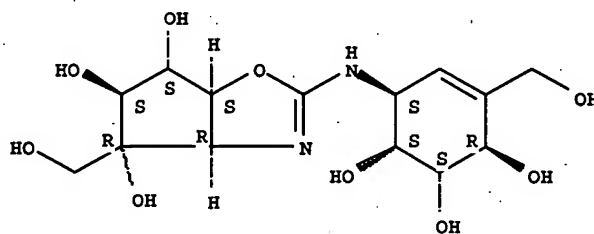
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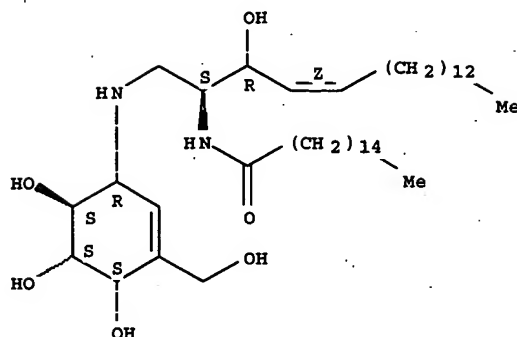
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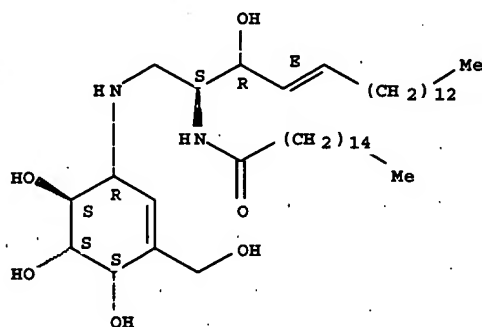
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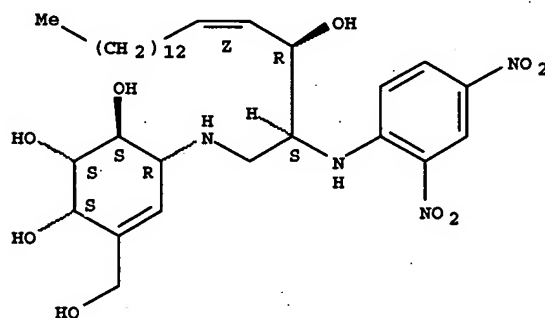
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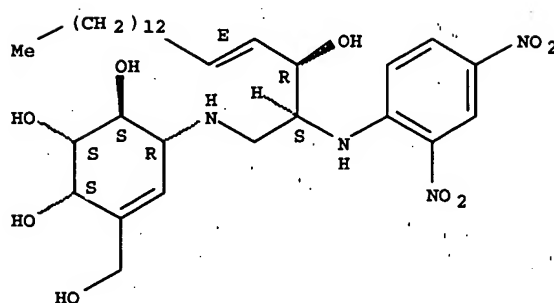
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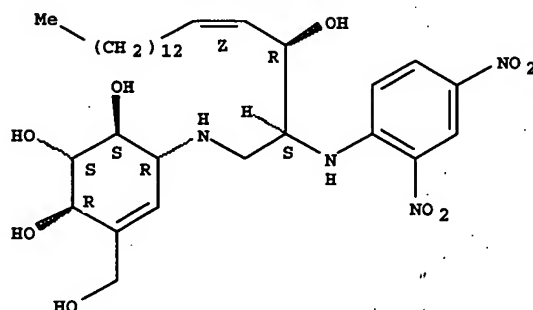
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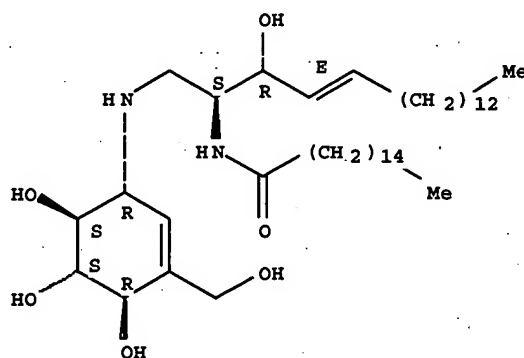
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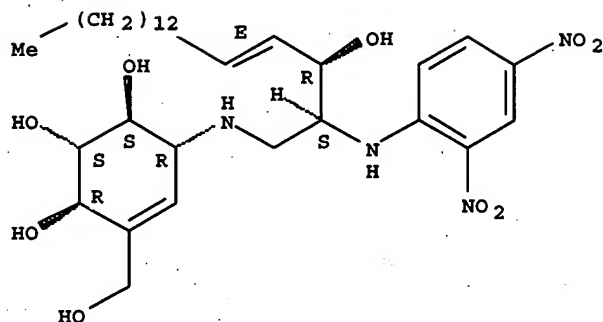
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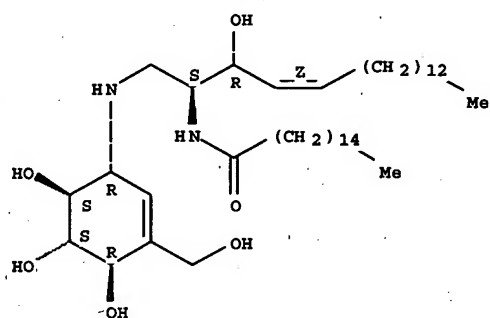


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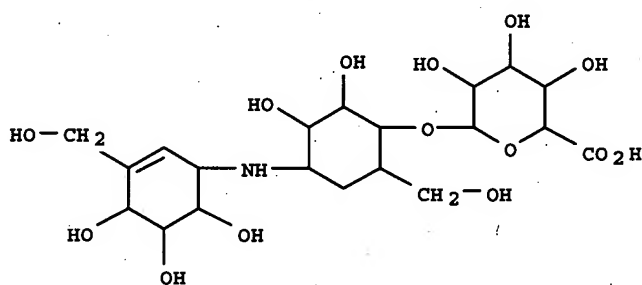
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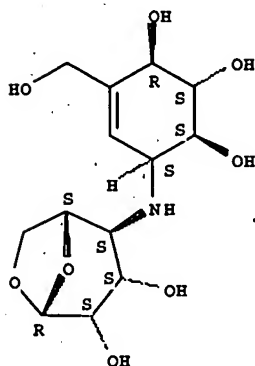
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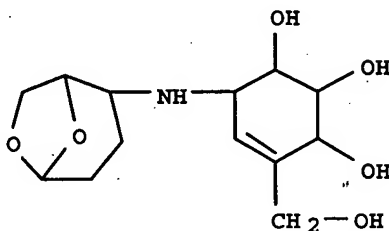
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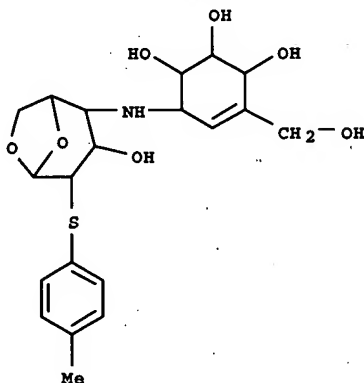
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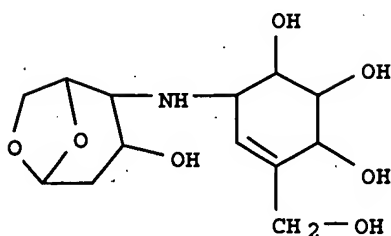
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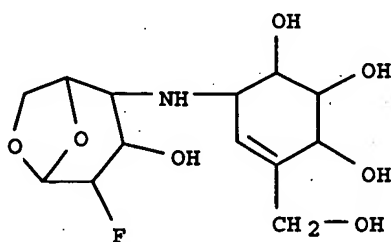
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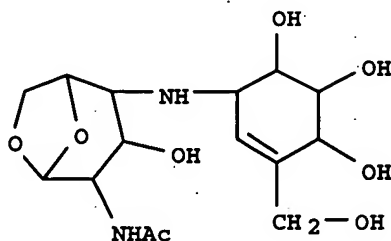
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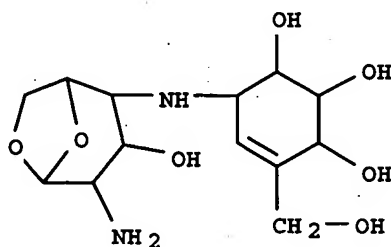
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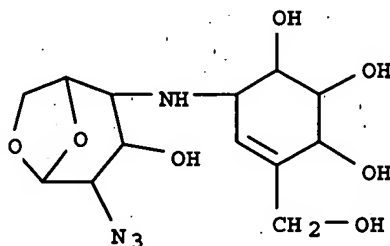
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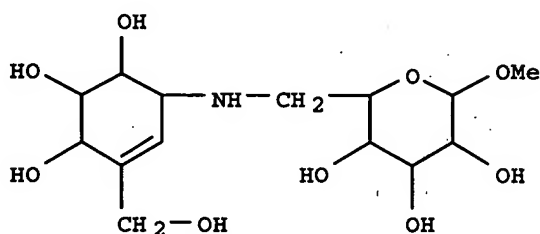
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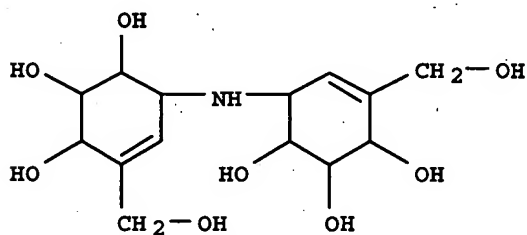
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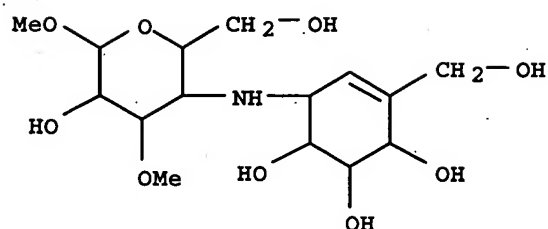
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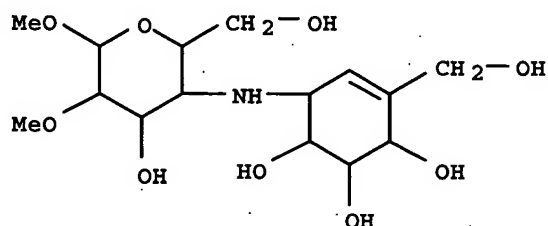
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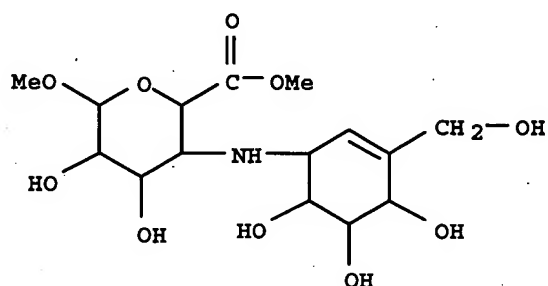
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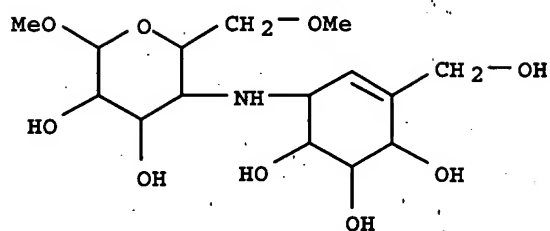
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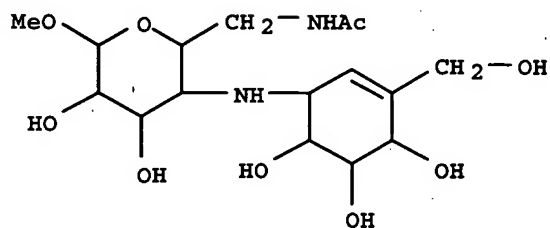
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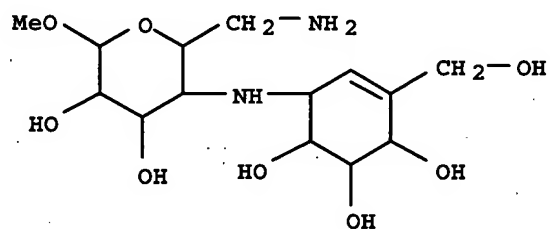
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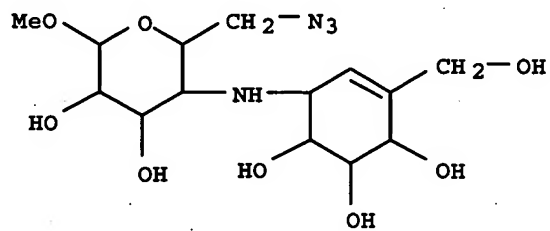
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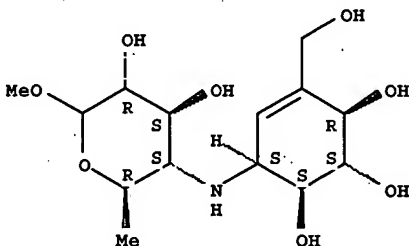
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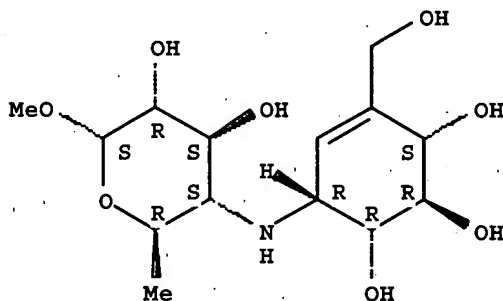
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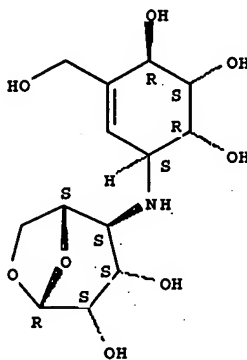
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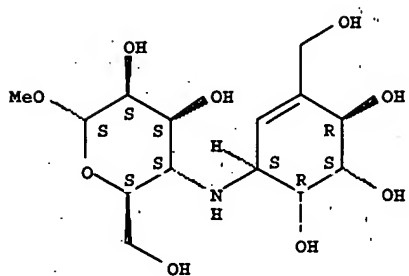
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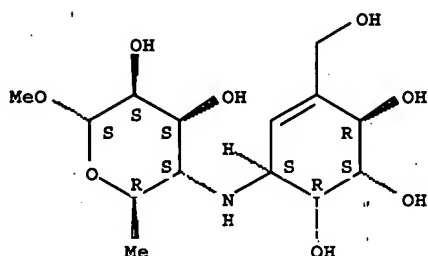
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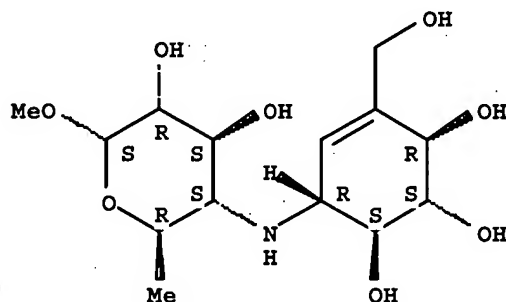
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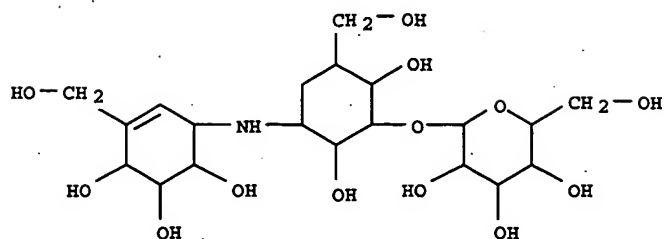
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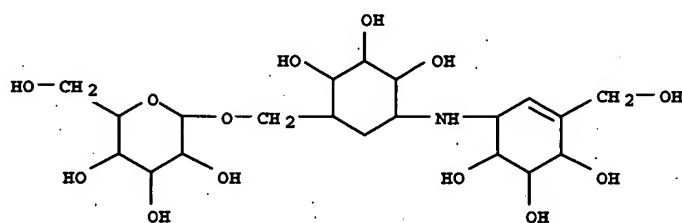
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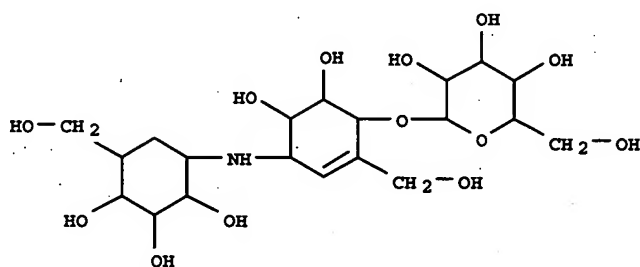
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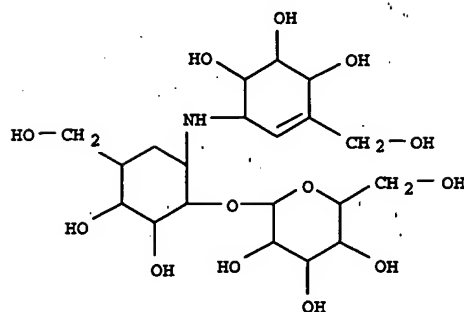
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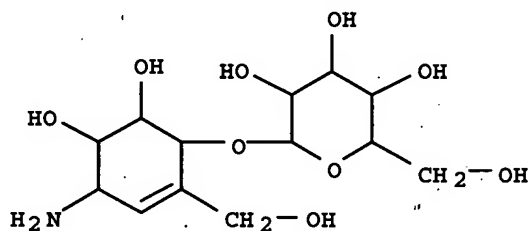
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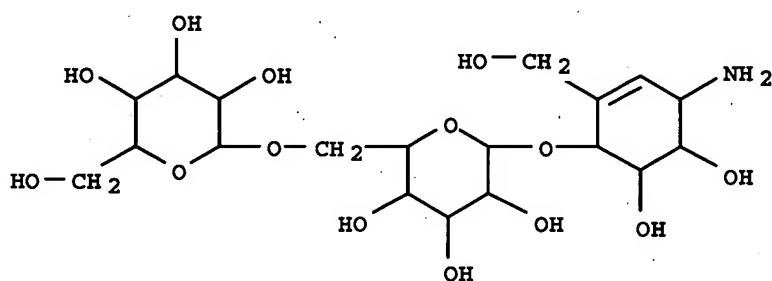
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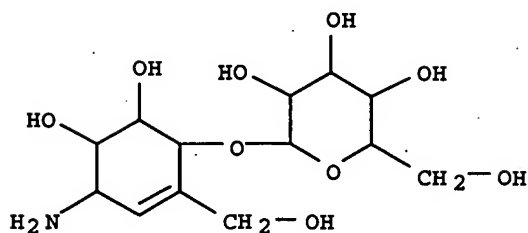
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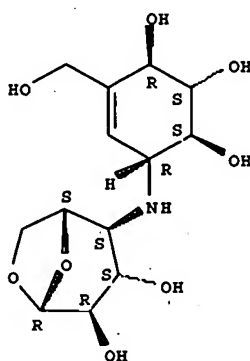
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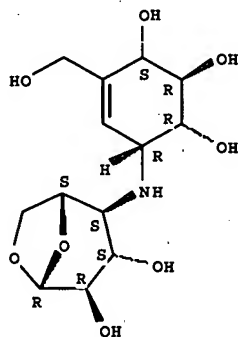
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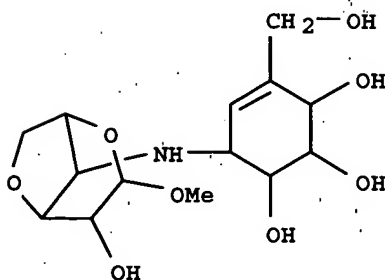
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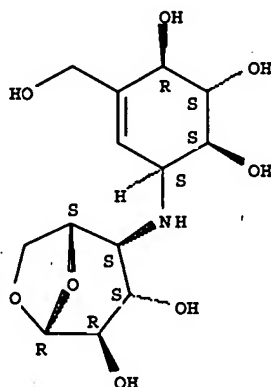
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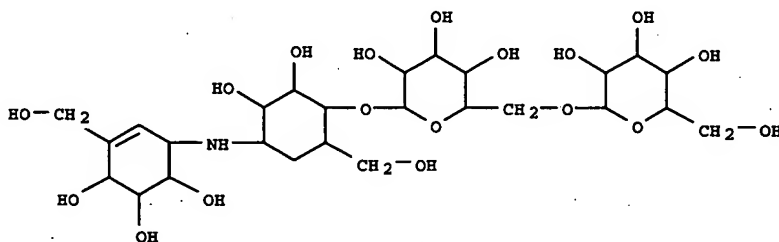
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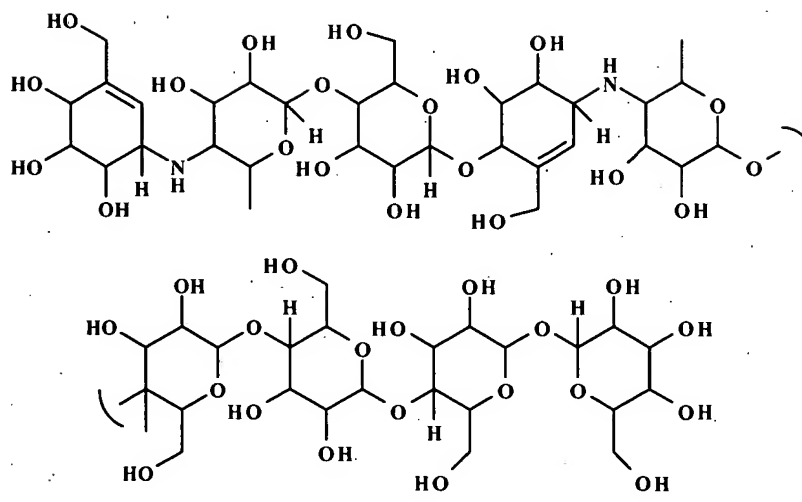
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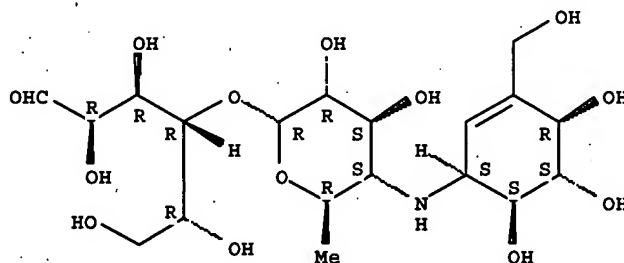
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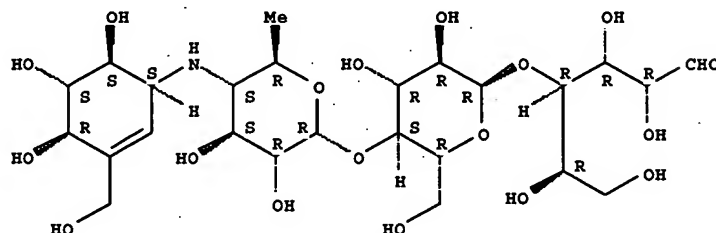
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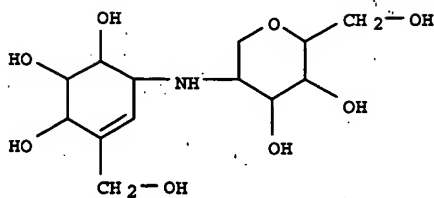
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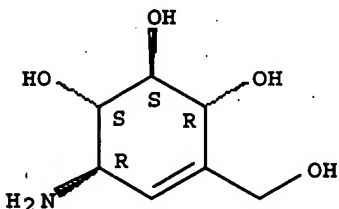
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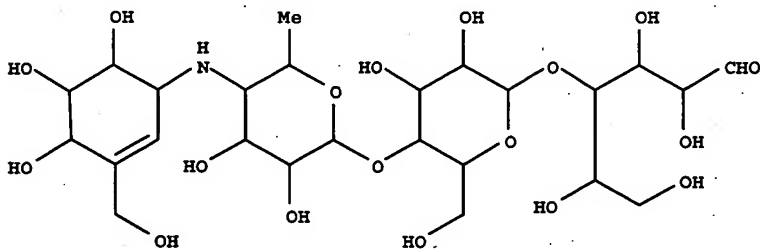
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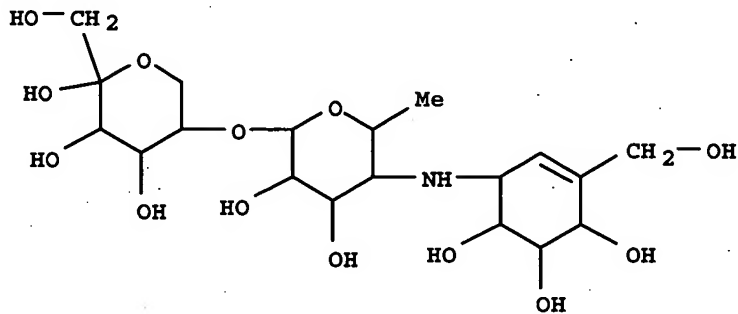
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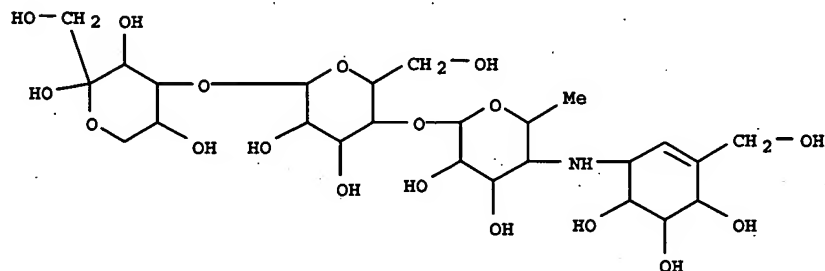
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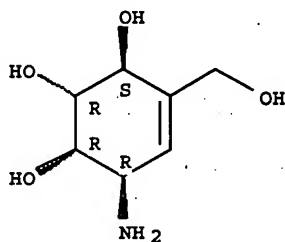
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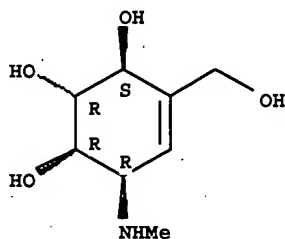
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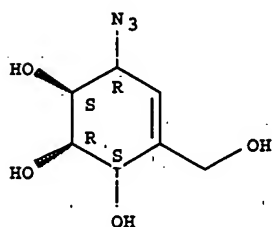
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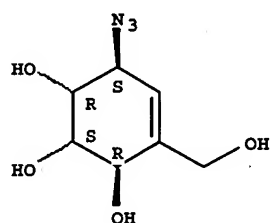
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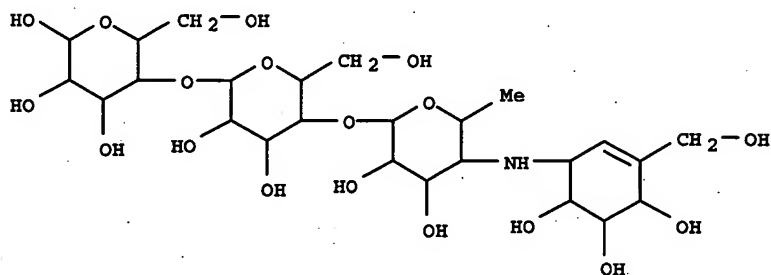
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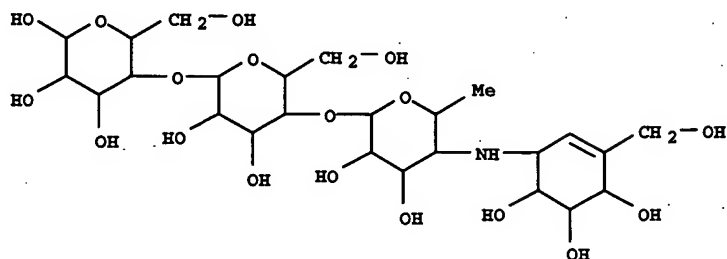
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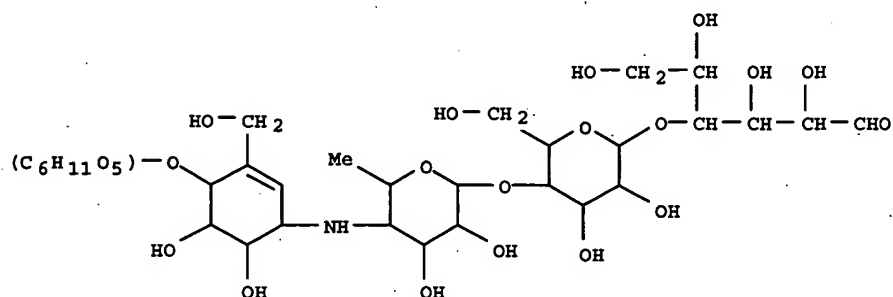
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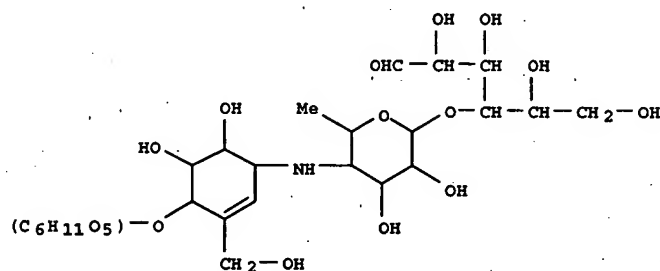
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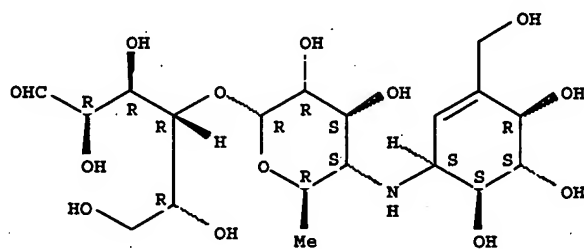
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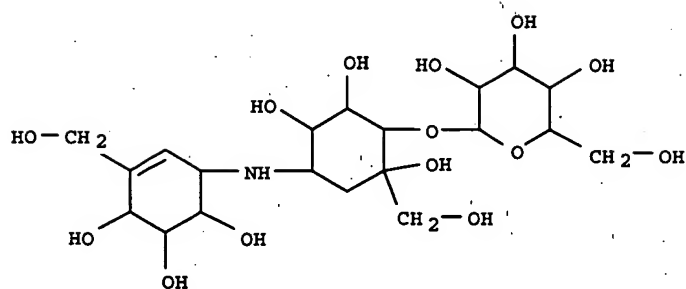
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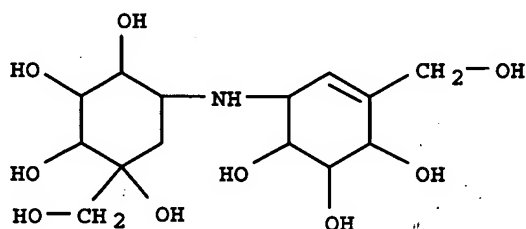
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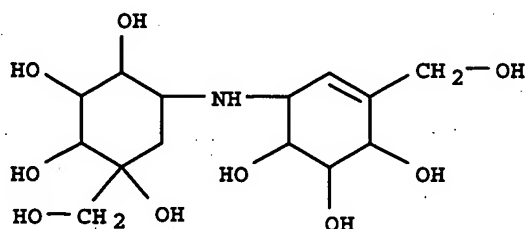
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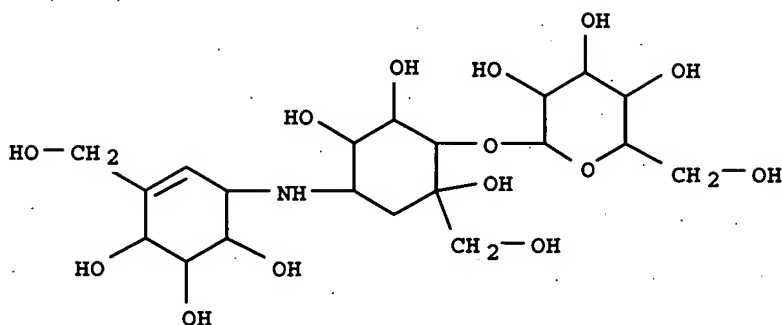
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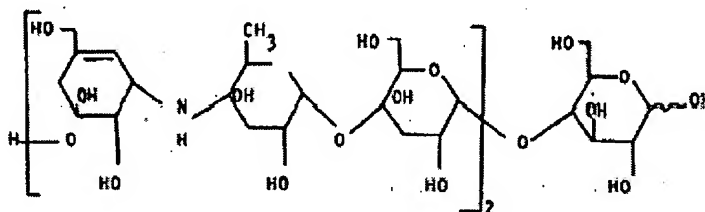
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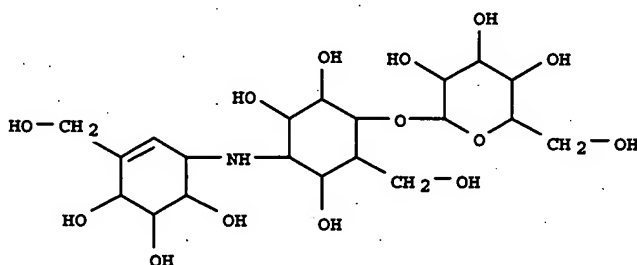
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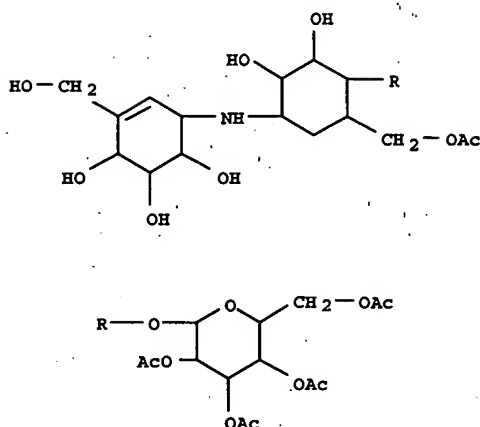
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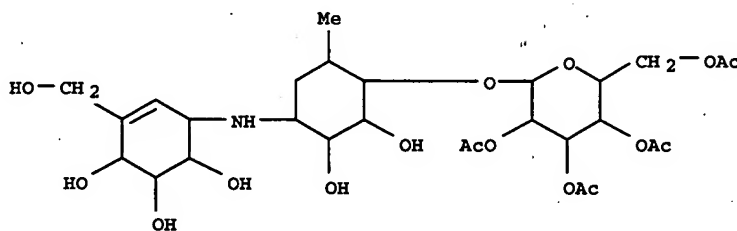
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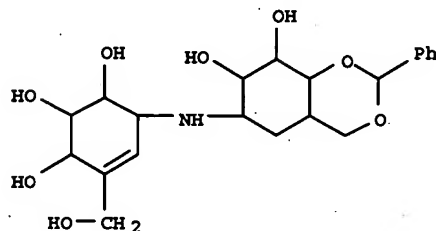
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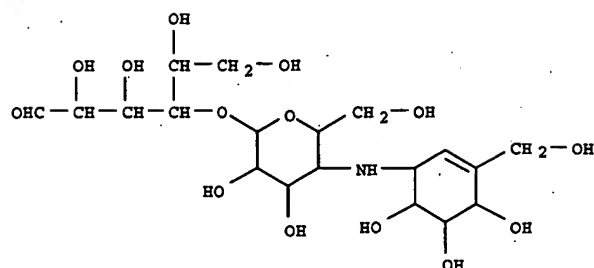
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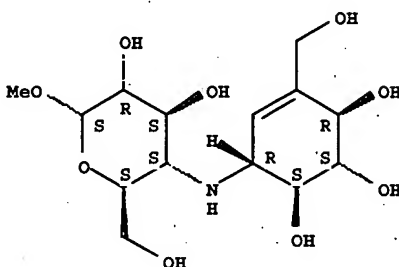
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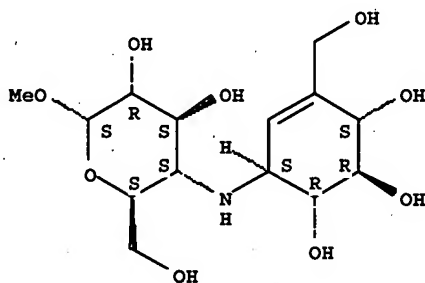
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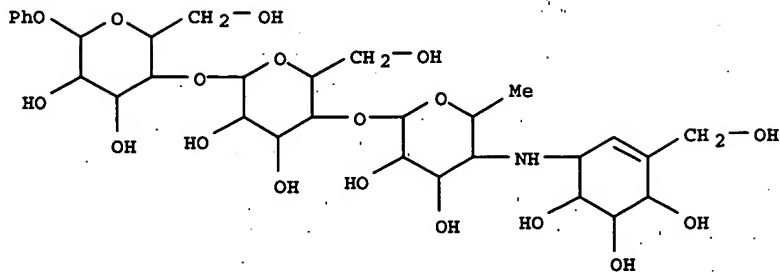
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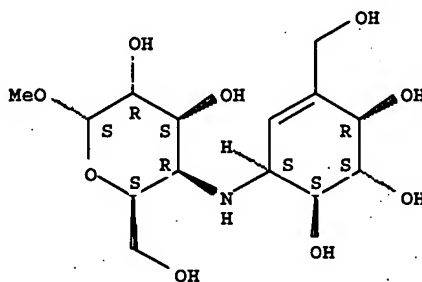
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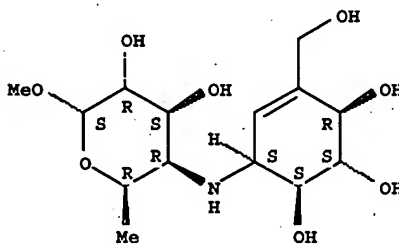
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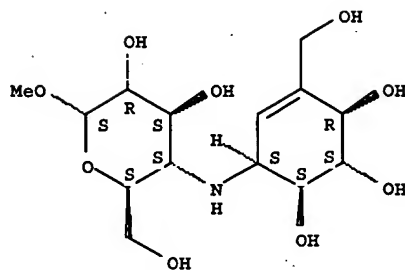
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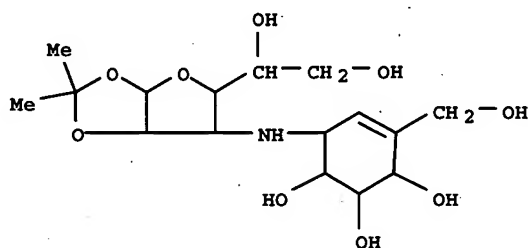
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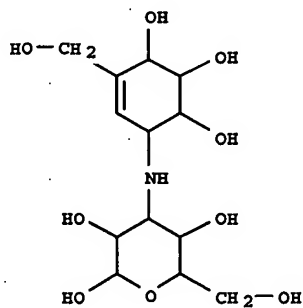
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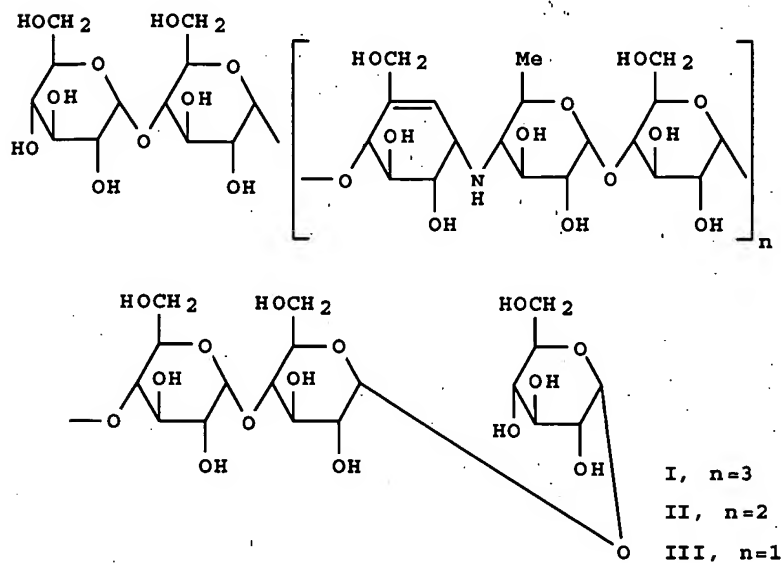
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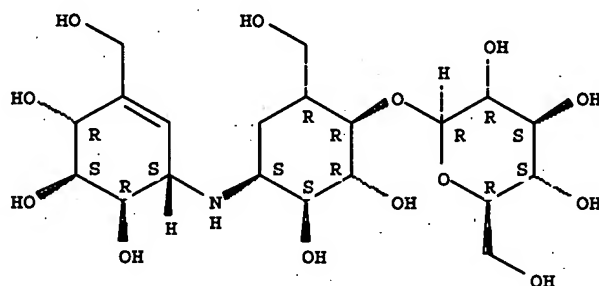
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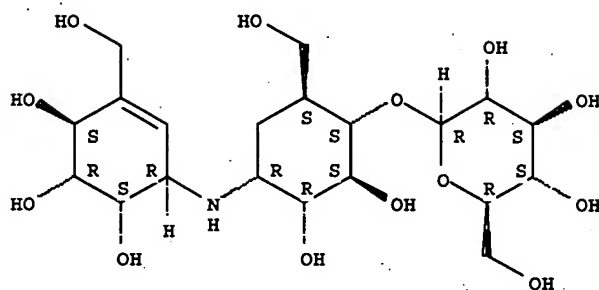
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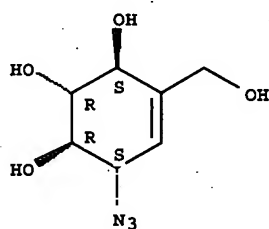


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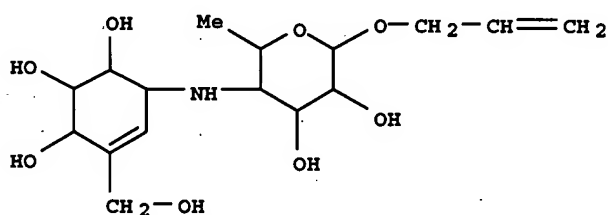
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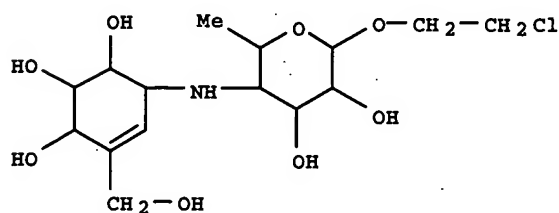
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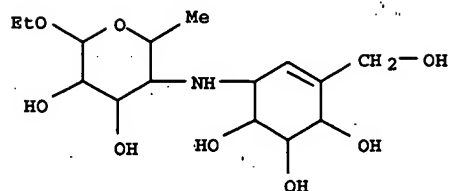
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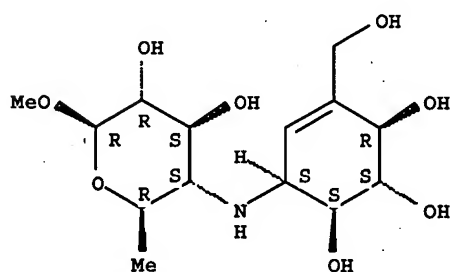
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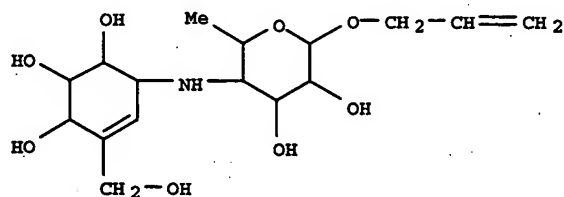
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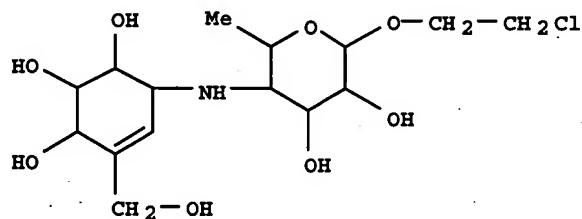
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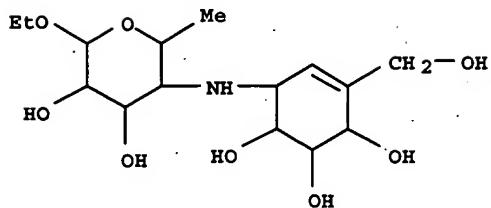
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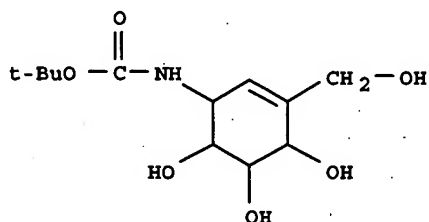
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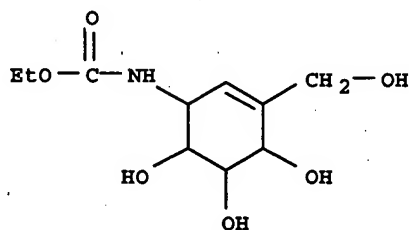
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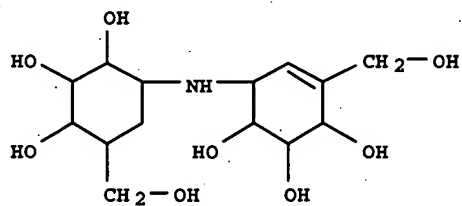
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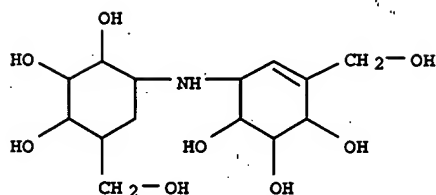
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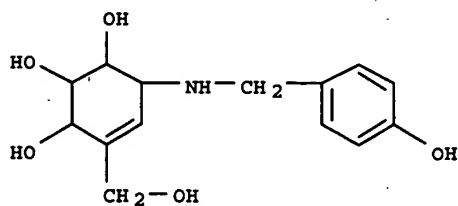
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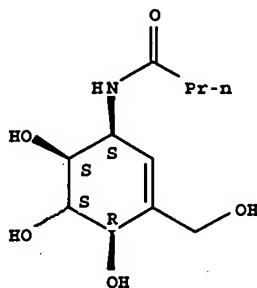
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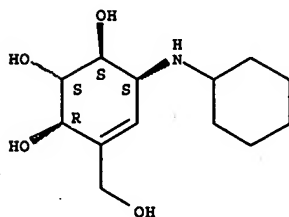
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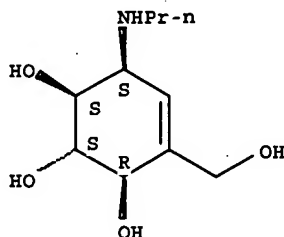
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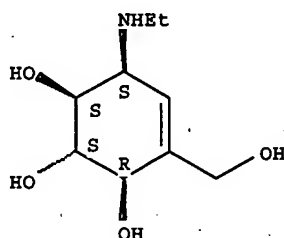
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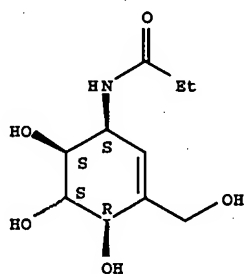
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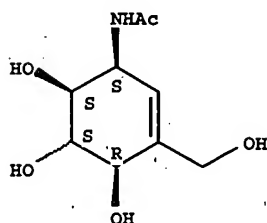
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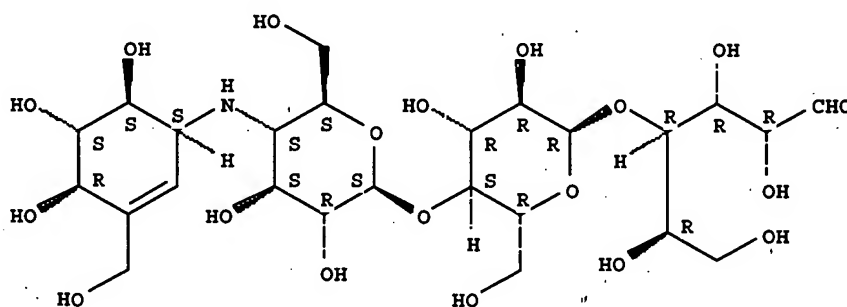
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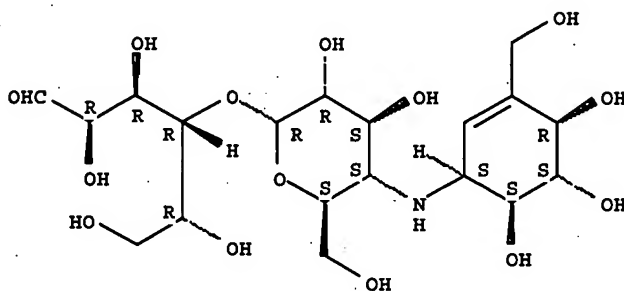
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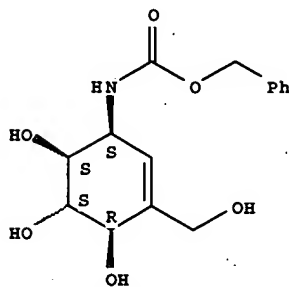
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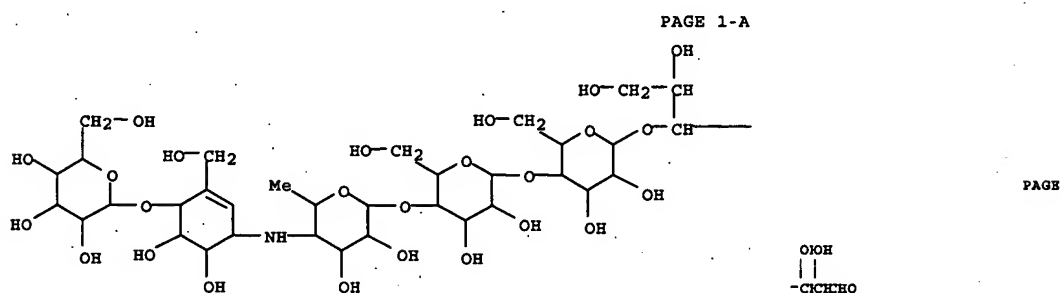
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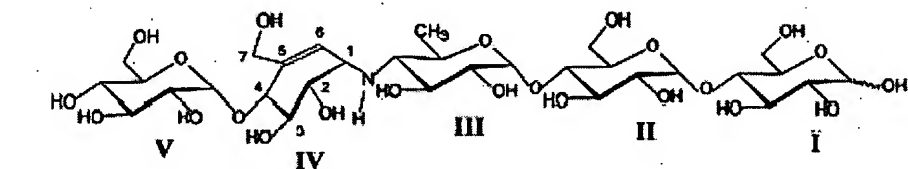
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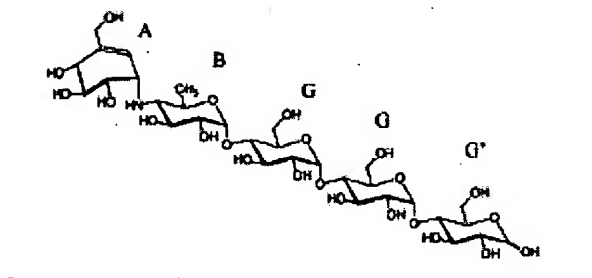
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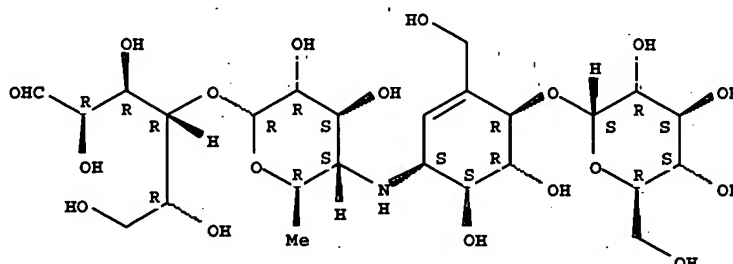
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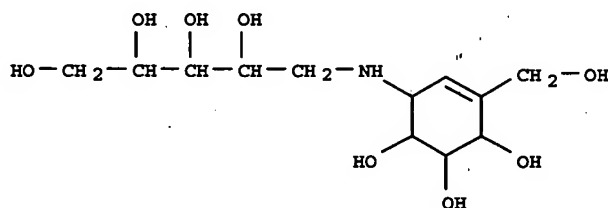
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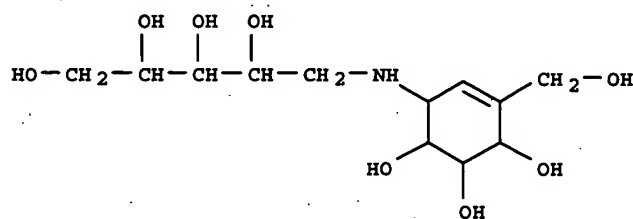
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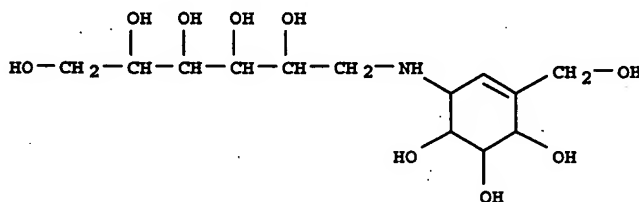
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p10.

RN-82950-47-8



Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p10.

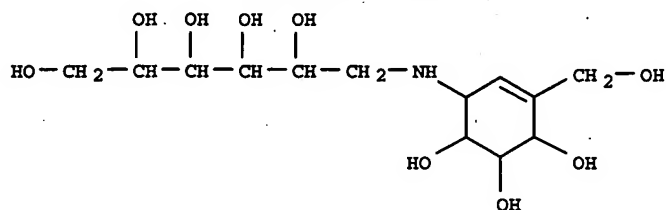
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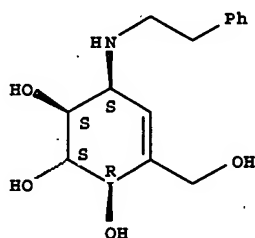
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p10.

RN-82950-45-6



Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p10.

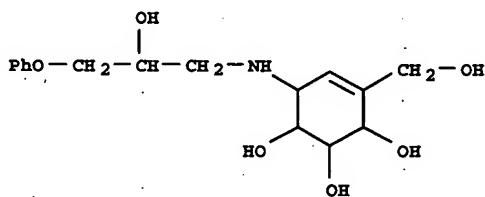
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HCl

Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p8.

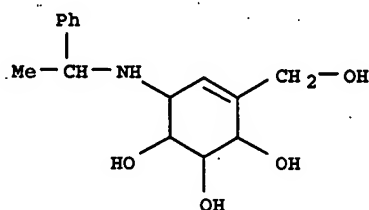
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HCl

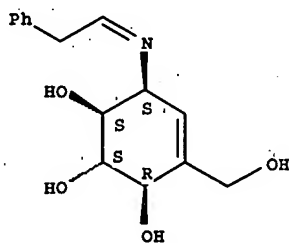
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p12.

RN-82920-57-8



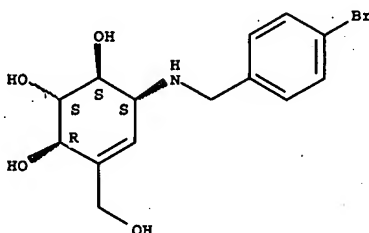
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p7.

RN-82920-56-7



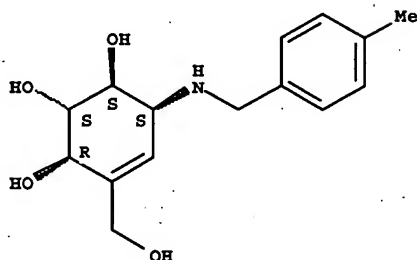
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p16.

RN-82920-55-6



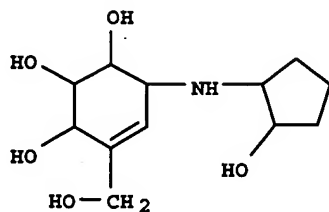
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p11.

RN-82920-54-5



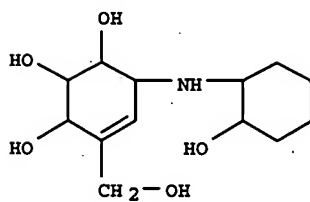
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p7.

RN-82920-53-4



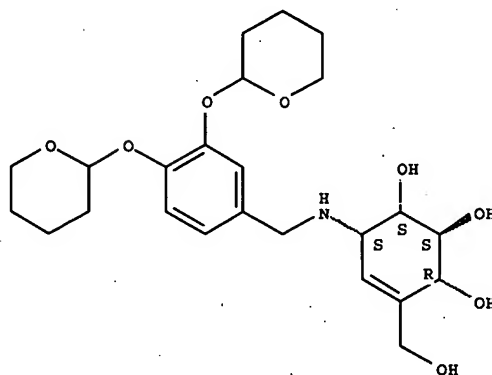
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RN-82920-52-3



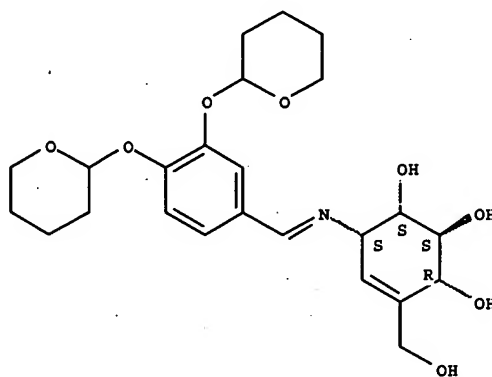
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p23.

RN-82920-51-2



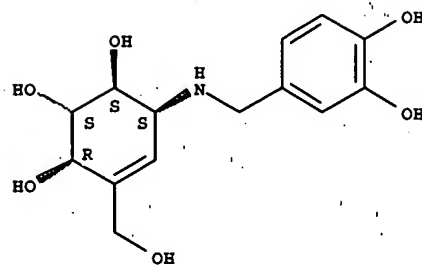
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p23.

RN-82920-50-1



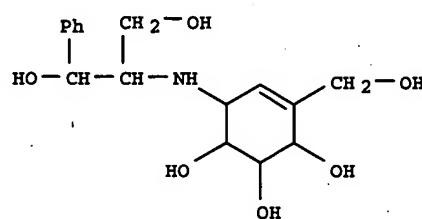
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p23.

RN-82920-49-8



Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p23.

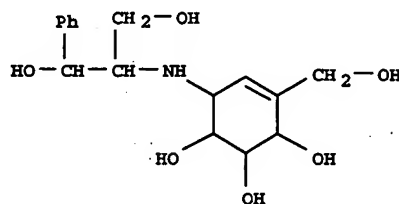
RN-82920-48-7



HCl

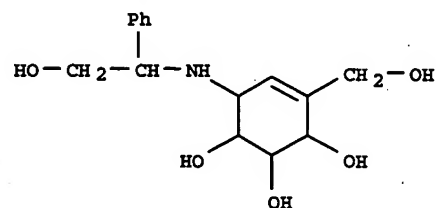
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p12

RN-82920-47-6



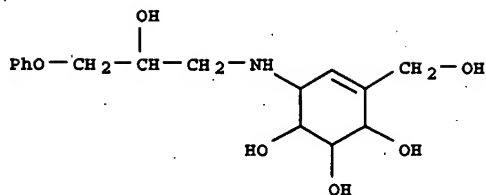
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p12

RN-82920-46-5



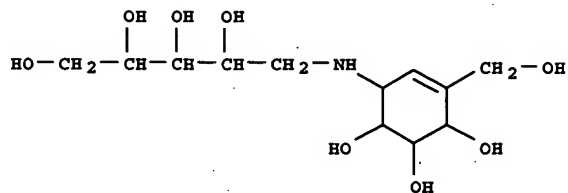
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RN-82920-45-4



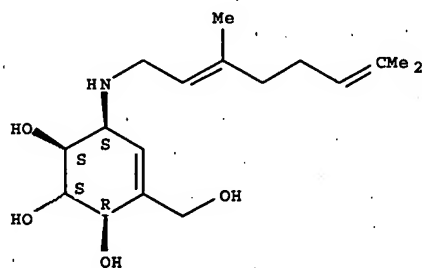
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RN-82920-44-3



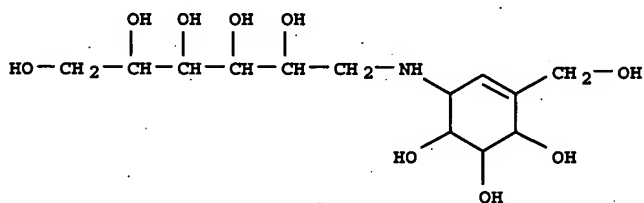
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RN-82920-43-2



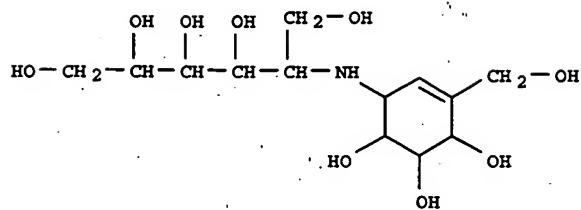
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p11

RN-82920-42-1



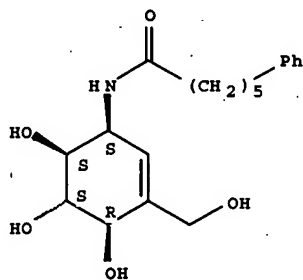
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RN-82920-41-0



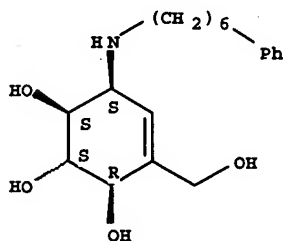
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RN-82920-40-9



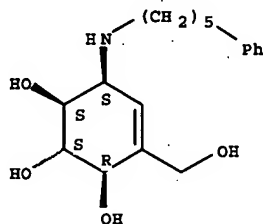
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RN-82920-39-6



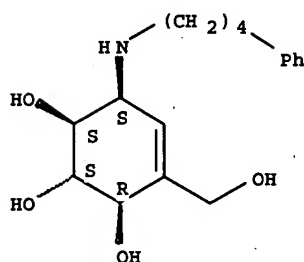
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RN-82920-38-5



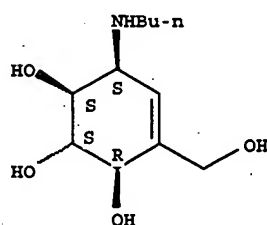
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p20

RN-82920-37-4



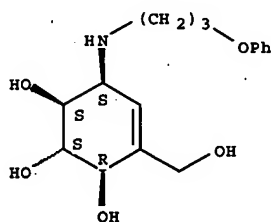
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p19

RN-82920-36-3



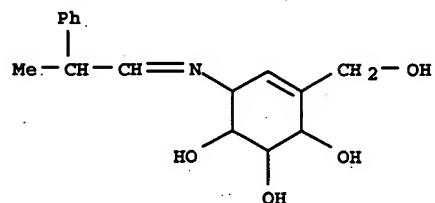
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p19

RN-82920-35-2



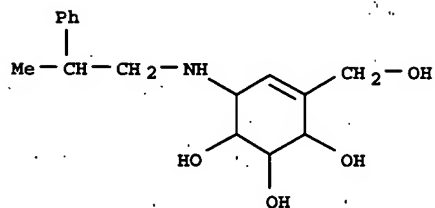
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RN-82920-34-1



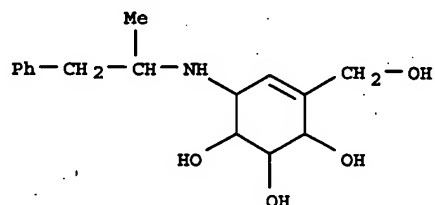
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RN-82920-33-0



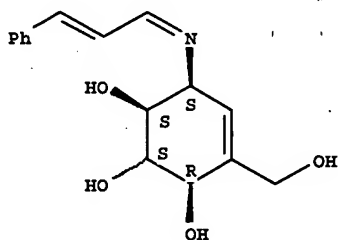
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RN-82920-32-9



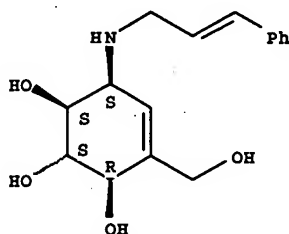
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p18

RN-82920-31-8



Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p18

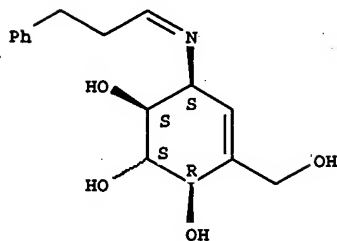
RN-82920-30-7



Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p18

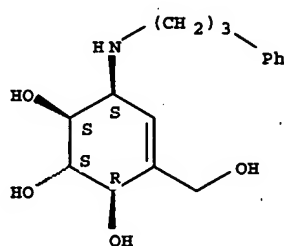


RN-82920-29-4



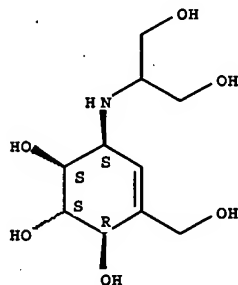
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p18

RN-82920-28-3



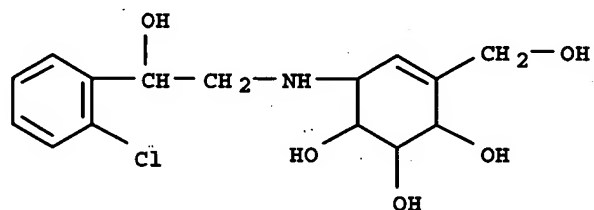
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RN-82920-27-2



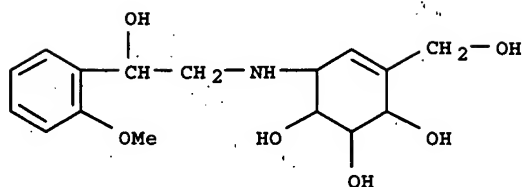
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RN-82920-26-1



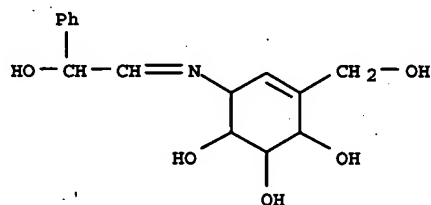
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p17

RN-82920-25-0



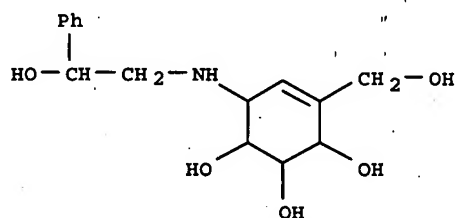
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RN-82920-24-9



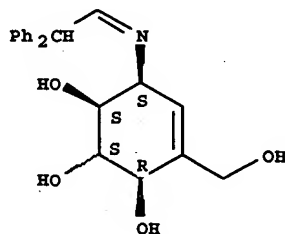
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RN-82920-23-8



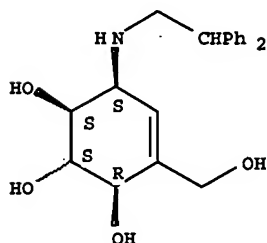
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RN-82920-22-7



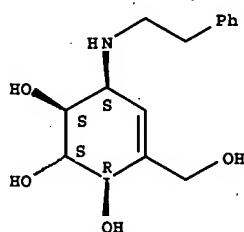
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RN-82920-21-6



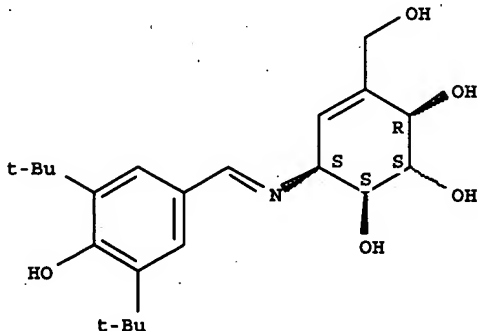
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RN-82920-20-5



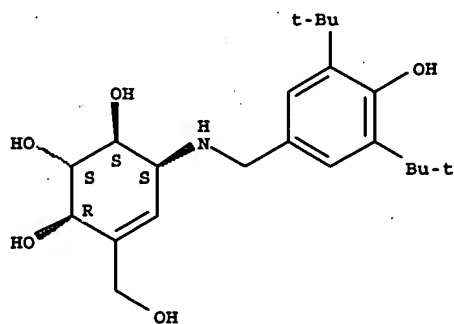
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RN-82920-19-2



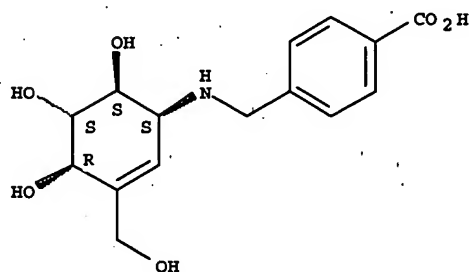
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RN-82920-18-1



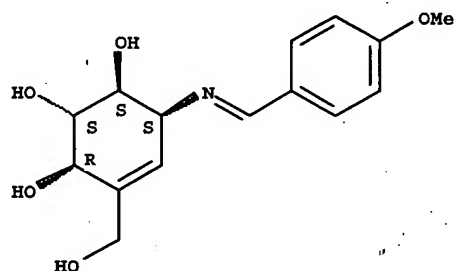
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RN-82920-17-0



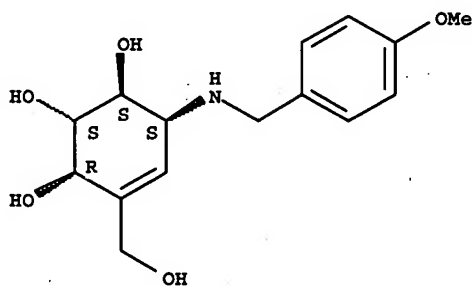
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RN-82920-16-9



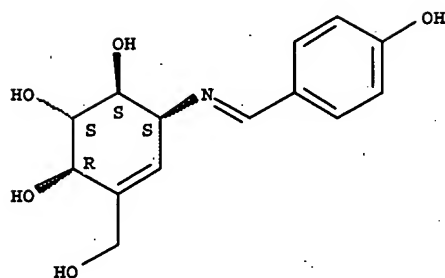
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RN-82920-15-8



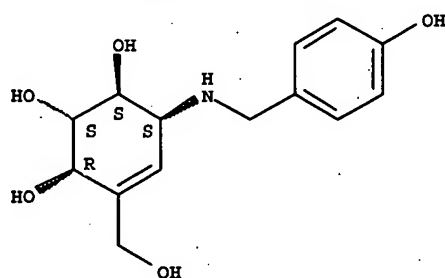
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RN-82920-14-7



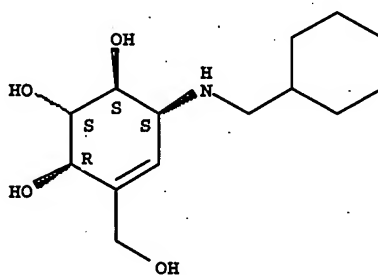
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RN-82920-13-6



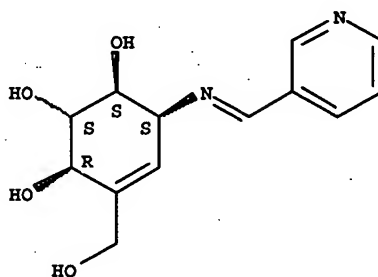
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RN-82920-12-5



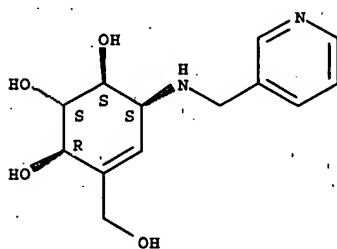
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RN-82920-11-2



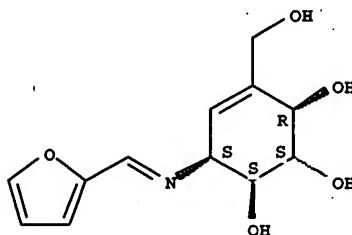
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RN-82920-10-3



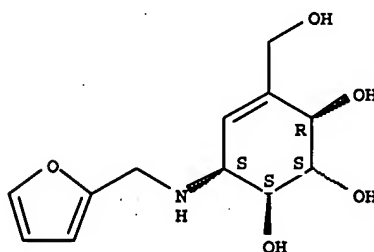
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RN-82920-09-0



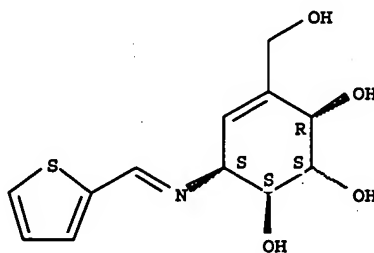
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RN-82920-08-9



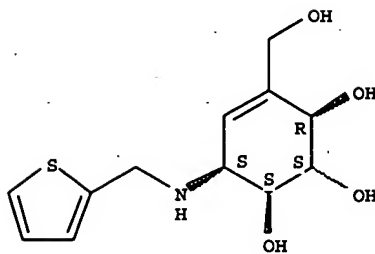
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RN-82920-07-8



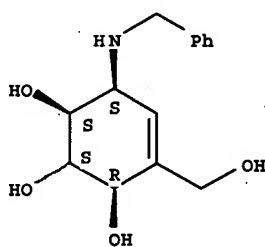
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RN-82920-06-7



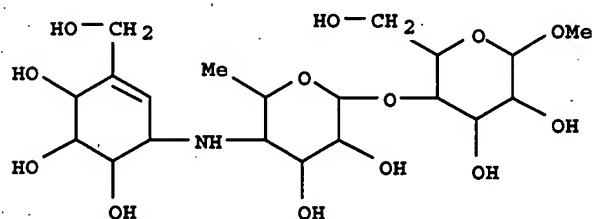
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RN-82920-05-6



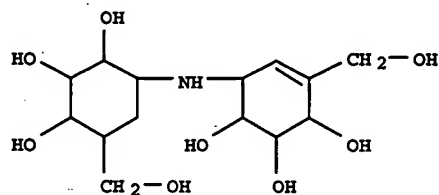
Horii, Satoshi; Kameda, Yukihiro; Fukase, Hiroshi. EP-49981-A1 p13

RN-82796-38-1



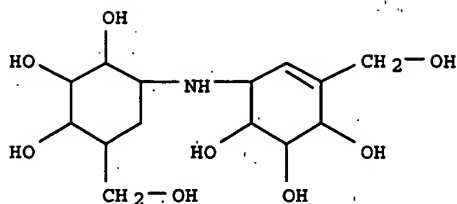
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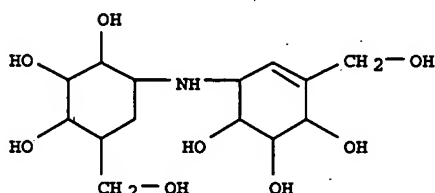
Ogawa, Seiichiro; Ogawa, Takao; Chida, Noritaka; Toyokuni, Tatsushi; Suami, Tetsuo. Chemistry Letters (1982), (5), 749-52 p751

RN-82309-79-3



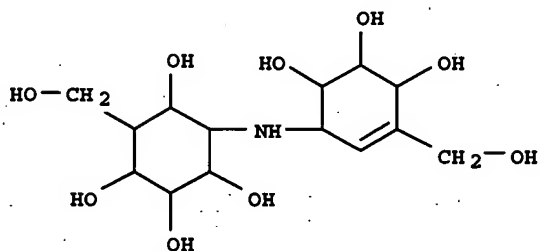
Ogawa, Seiichiro; Ogawa, Takao; Chida, Noritaka; Toyokuni, Tatsushi; Suami, Tetsuo.  
Chemistry Letters (1982), (5), 749-52 p751

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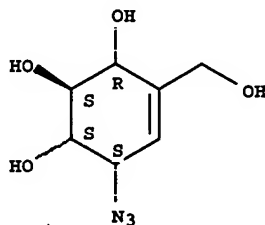
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Chemistry Letters (1982), (5), 749-52 p751

RN-81739-22-2



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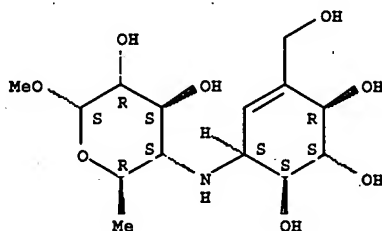
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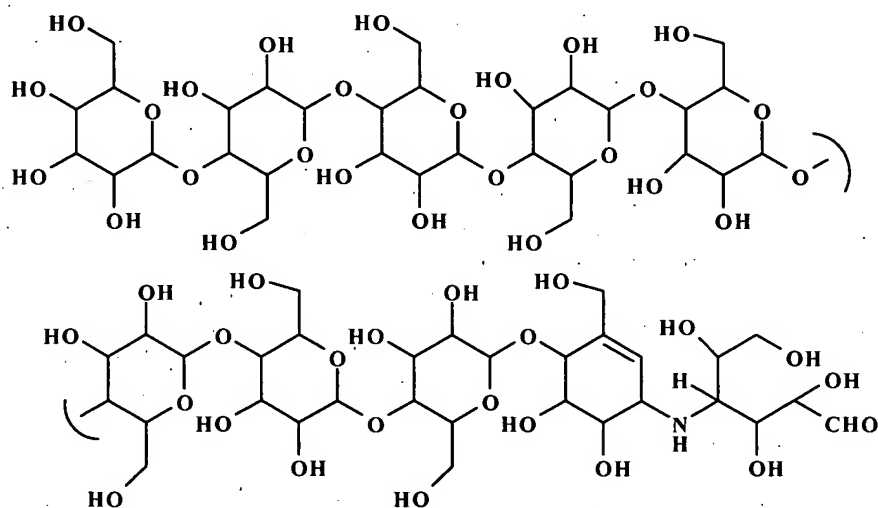
Ogawa, Seiichiro; Toyokuni, Tatsushi; Iwasawa, Yoshikazu; Abe, Yasuo; Suami, Tetsuo.  
Chemistry Letters (1982), (3), 279-82 p280

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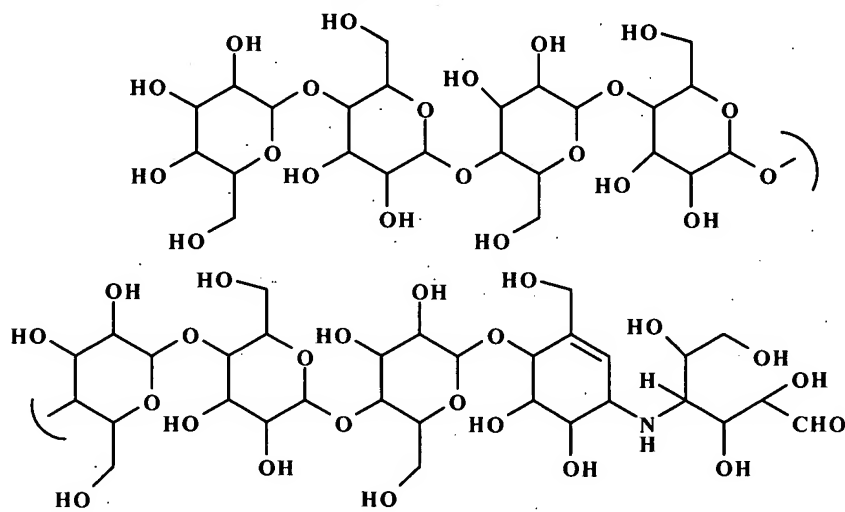
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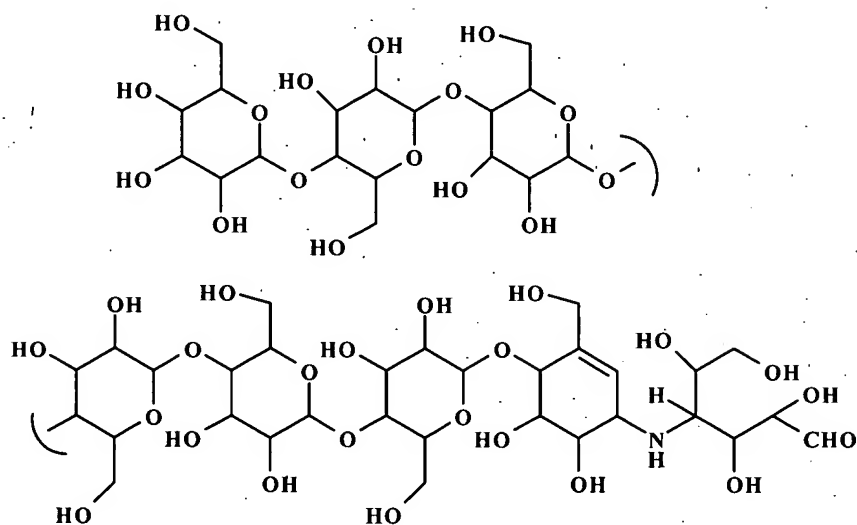
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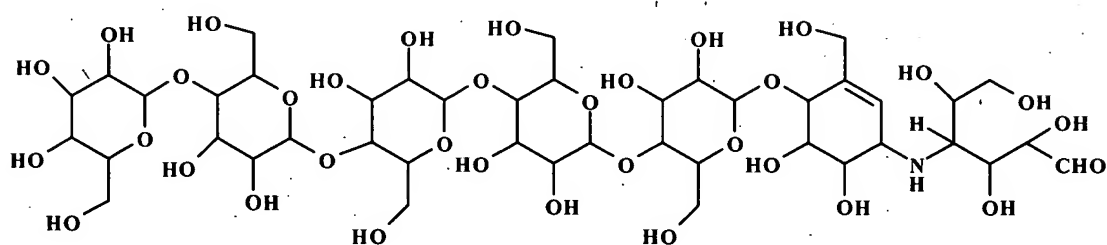
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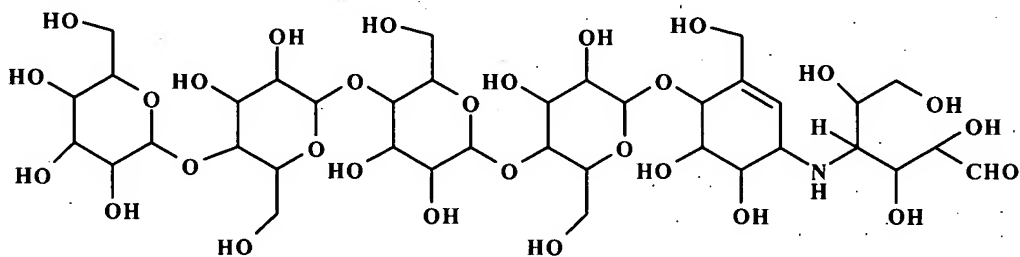
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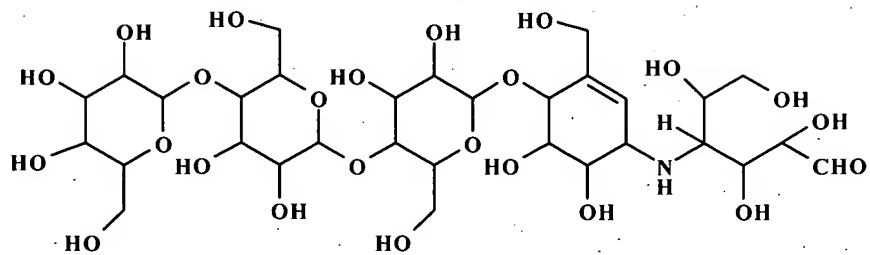
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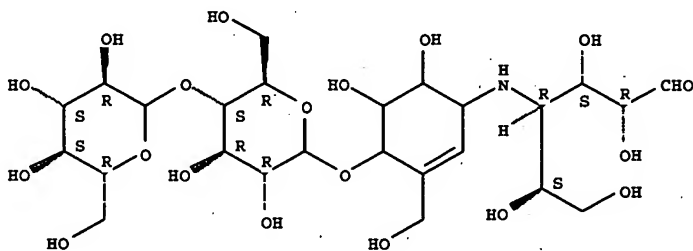
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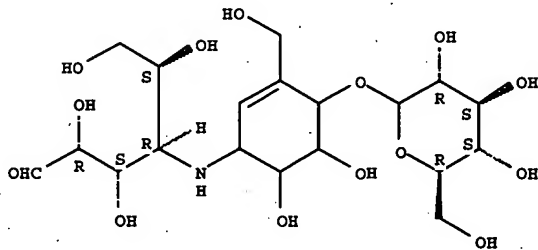
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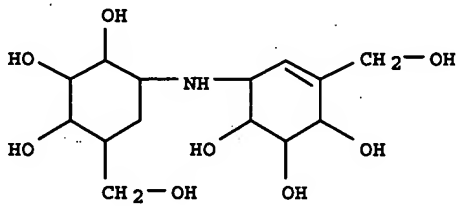
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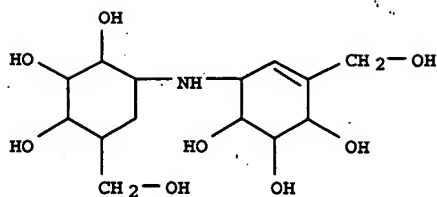
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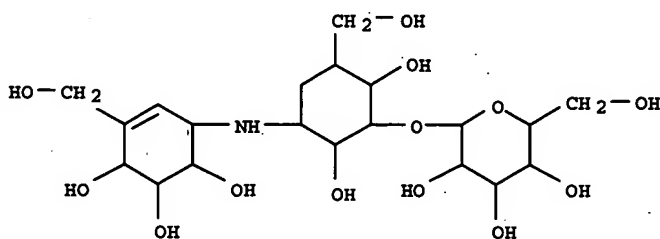
Ogawa, Seiichiro; Toyokuni, Tatsushi; Suami, Tetsuo. Chemistry Letters (1981), (7), 947-50.

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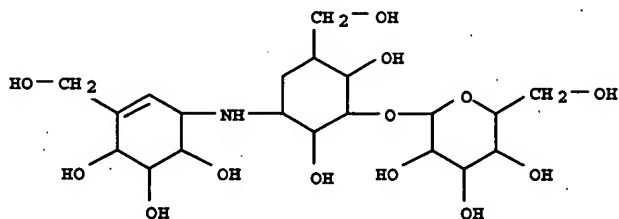
Ogawa, Seiichiro; Toyokuni, Tatsushi; Suami, Tetsuo. Chemistry Letters (1981), (7), 947-50.

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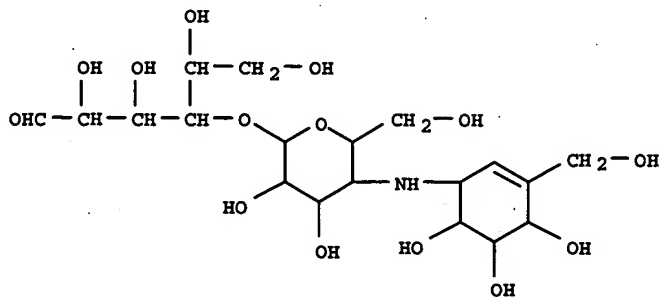
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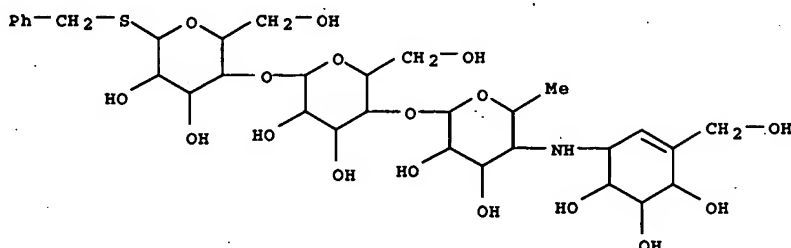
Asano, Naoki; Kameda, Yukihiro; Matsui, Katsuhiko. Journal of Antibiotics (1991), 44(12), 1406-16 compound 3a p1407

RN-77714-42-2



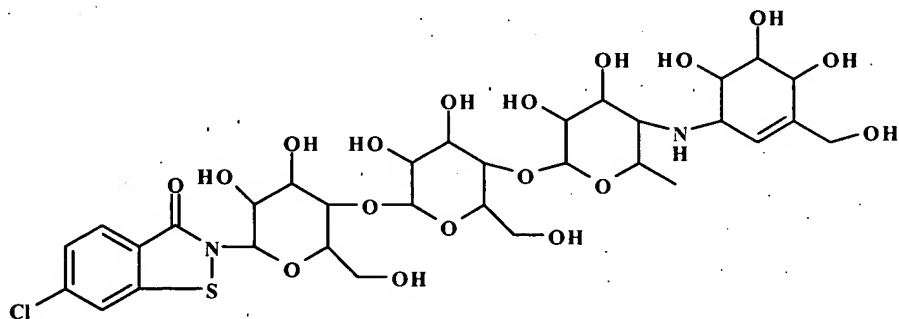
Mueller, L.; Junge, B.; Frommer, W.; Schmidt, D.; Truscheit, E. Inst. Biochem., Bayer A.-G., Wuppertal; Fed. Rep. Ger. Editor(s): Brodbeck, Urs. Enzyme Inhibitors, Proc. Meet. (1980), 109-22. Publisher: Verlag Chem p117

RN-77481-83-5



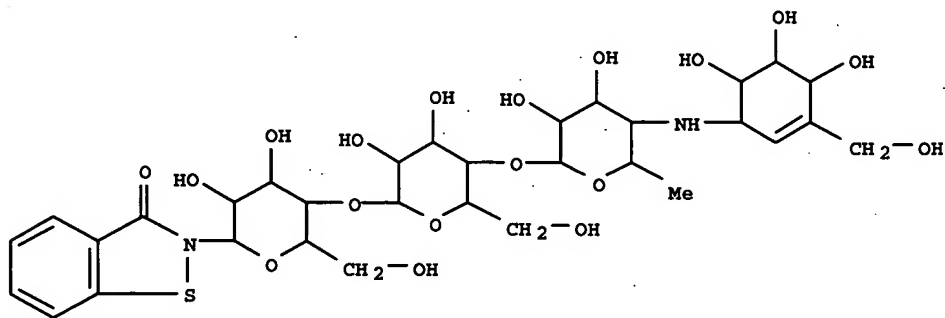
Junge, B.; Boeshagen, H.; Stoltefuss, J.; Mueller, L. Inst. Biochem., Bayer A.-G., Wuppertal, Fed. Rep. Ger. Editor(s): Brodbeck, Urs. Enzyme Inhibitors, Proc. Meet. (1980), 123-37. Publisher: Verlag Chem. Diagram 15 p 135

RN-77468-93-0



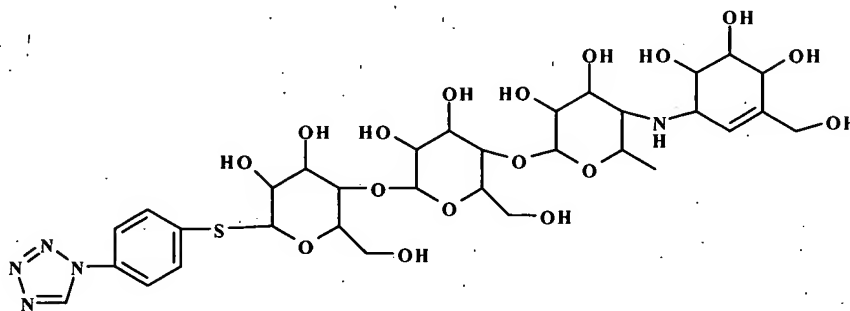
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RN-77453-33-9



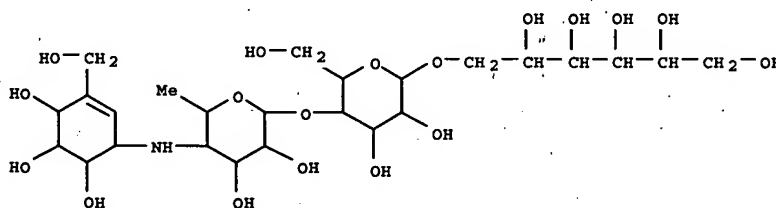
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RN-77453-32-8



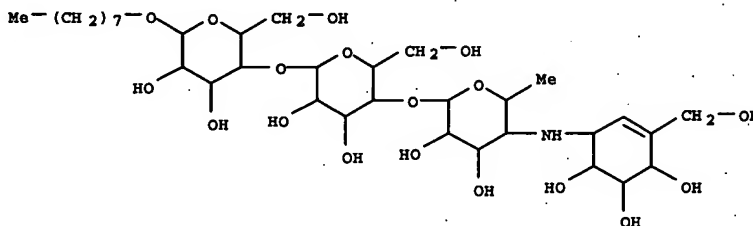
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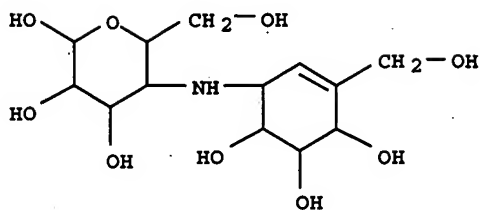
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RN-77453-30-6



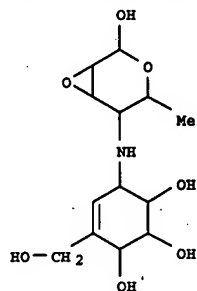
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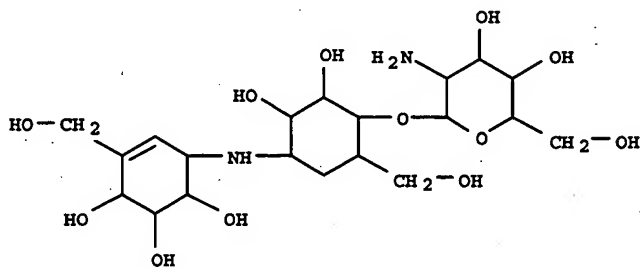
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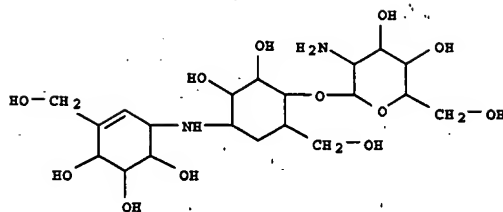
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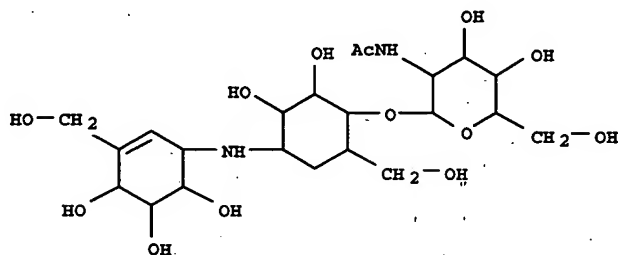
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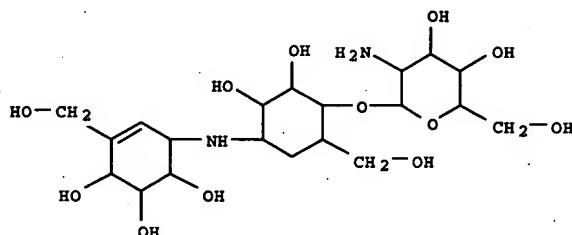
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RN-73469-82-6

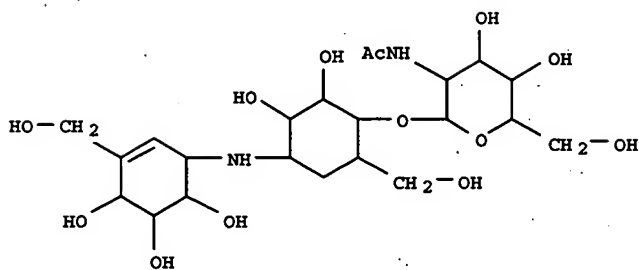


- HCl

Hasegawa, Akira; Kobayashi, Toshiyuki; Hibino, Hideyuki; Kiso, Makoto. Dep. Agric. Chem., Gifu Univ., Gifu, Japan. Agricultural and Biological Chemistry (1980), 44(1), 143-7 p144

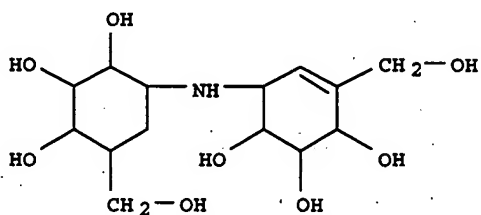


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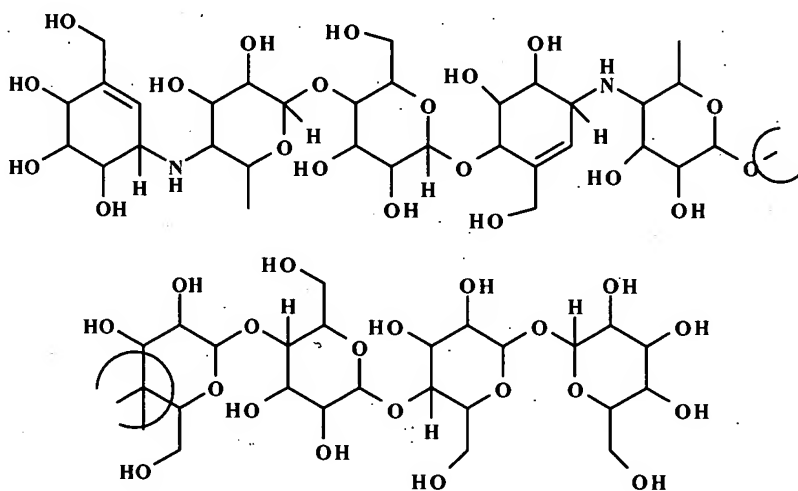
Hasegawa, Akira; Kobayashi, Toshiyuki; Hibino, Hideyuki; Kiso, Makoto. Dep. Agric. Chem., Gifu Univ., Gifu, Japan. Agricultural and Biological Chemistry (1980), 44(1), 143-7 p144

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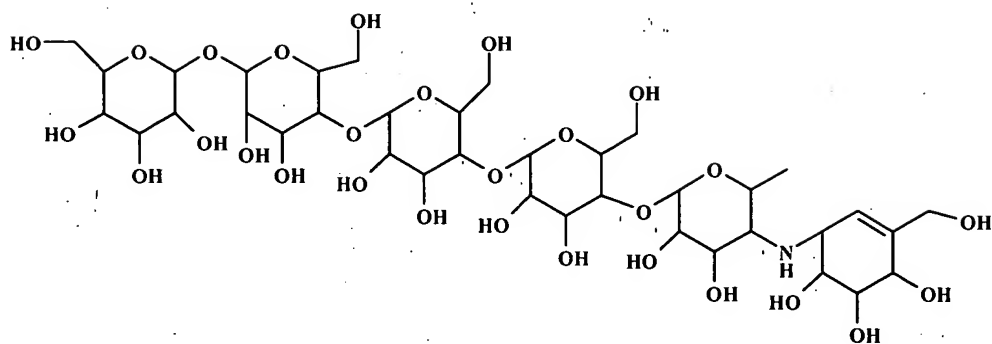
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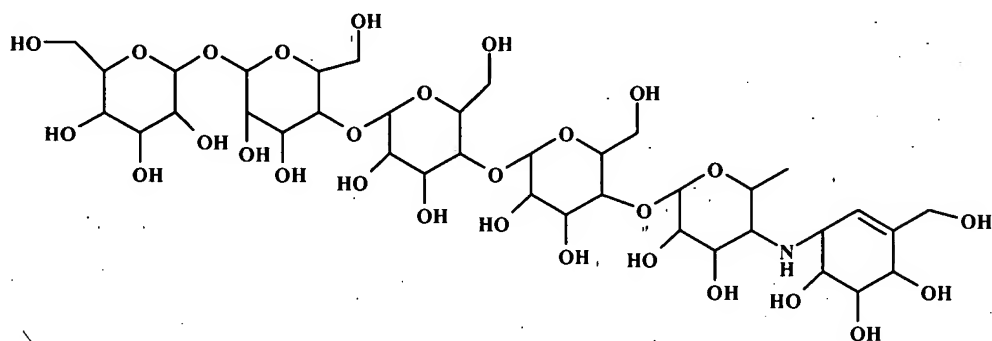
Y.Suhara et. al. US-4273765

RN-71869-92-6



Y.Suhara et. al. US-4273765

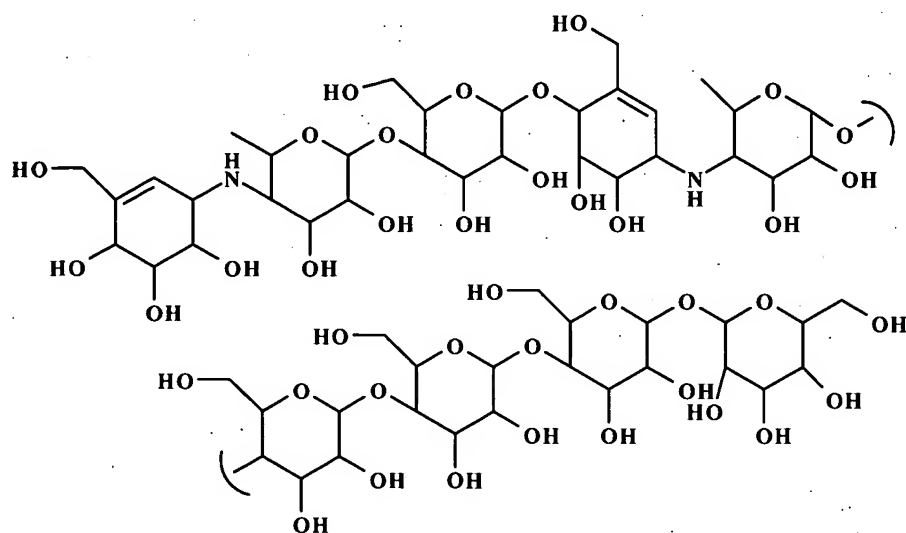
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HCl salt

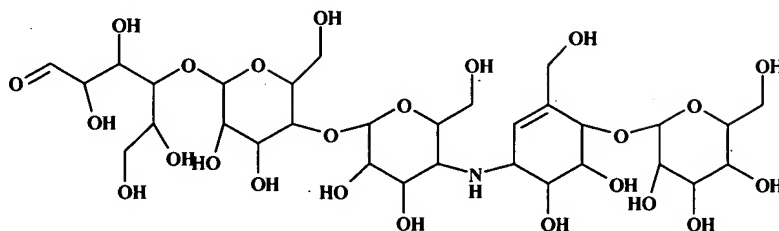
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RN-71828-09-6



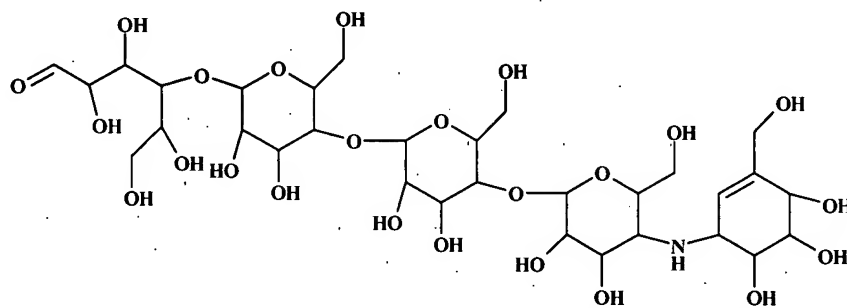
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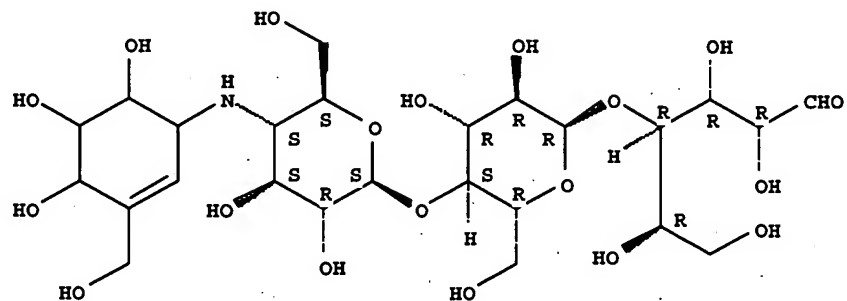
Otani, Masaru; Saito, Tetsu; Satoi, Shuzo; Mizoguchi, Junzo; Muto, Naoki. Toyo Jozo Co., Ltd., Japan DE-2855409

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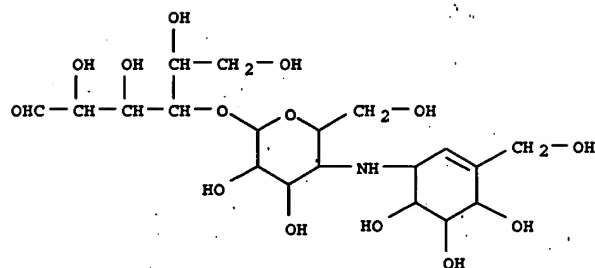
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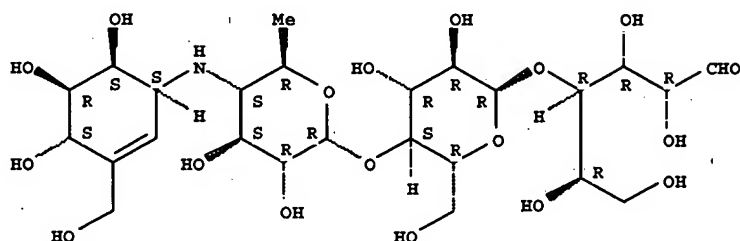
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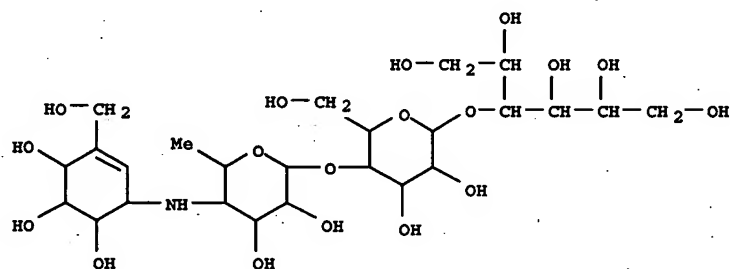
Otani, Masaru; Saito, Tetsu; Sato, Shuzo; Mizoguchi, Junzo; Muto, Naoki. Toyo Jozo Co., Ltd., Japan DE-2855409

RN-69351-49-1



E. Rauenbusch et. al. US-4174439

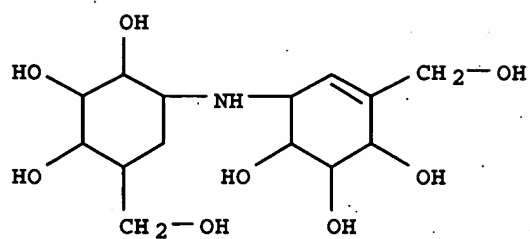
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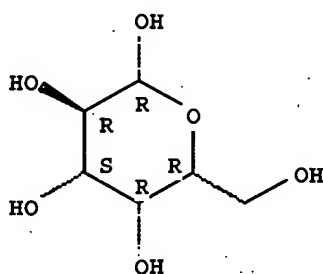
B. Junge et. al. DE-2658562 p65

RN-68422-39-9

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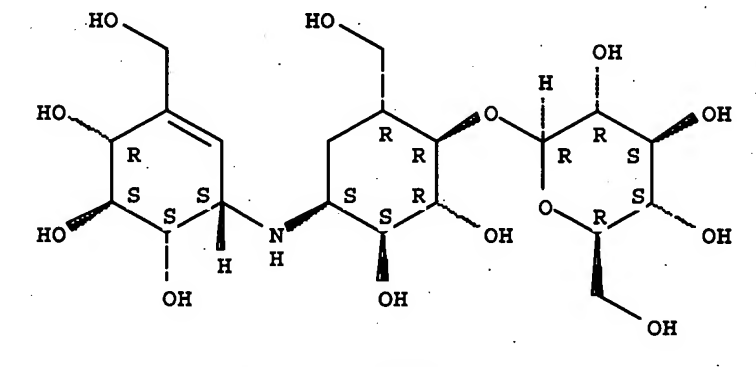
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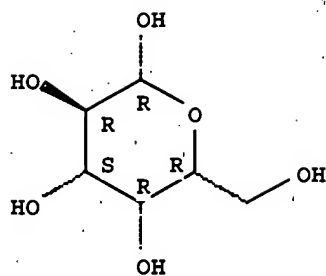
Kameda, Yukihiro; Asano, Naoki; Hashimoto, Tadashi. Journal of Antibiotics (1978), 31(9), 936-8. p 936

RN-68422-38-8

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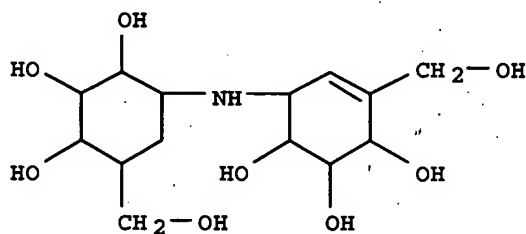
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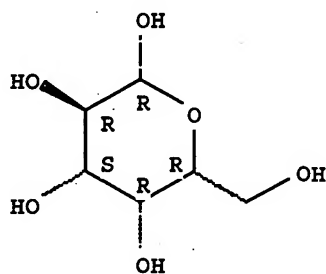
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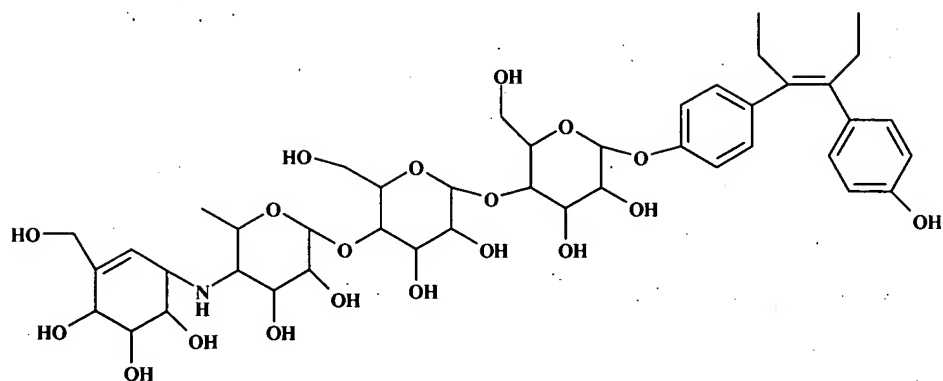


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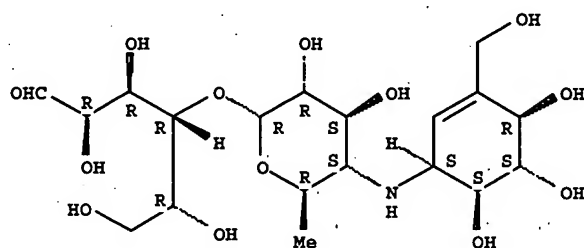
Kameda, Yukihiro; Asano, Naoki; Hashimoto, Tadashi. Journal of Antibiotics (1978), 31(9), 936-8. p937

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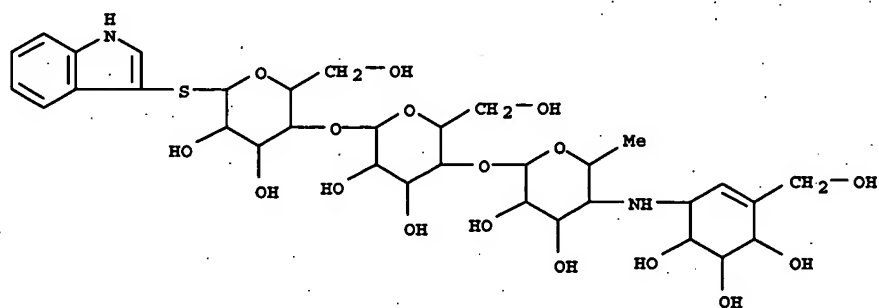
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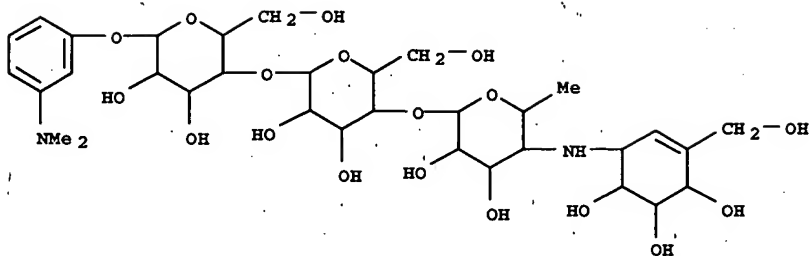
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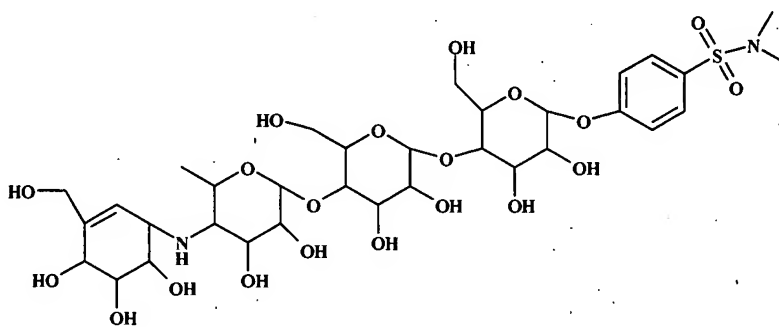
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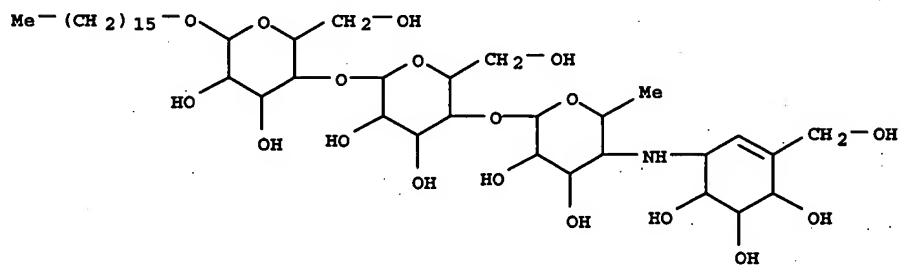
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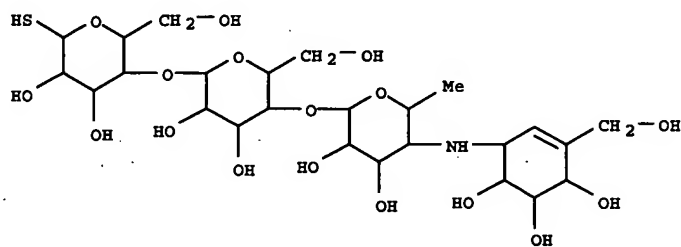
B. Junge et. al. DE-2658562 p69

RN-68107-64-2



B. Junge et. al. DE-2658562 p62

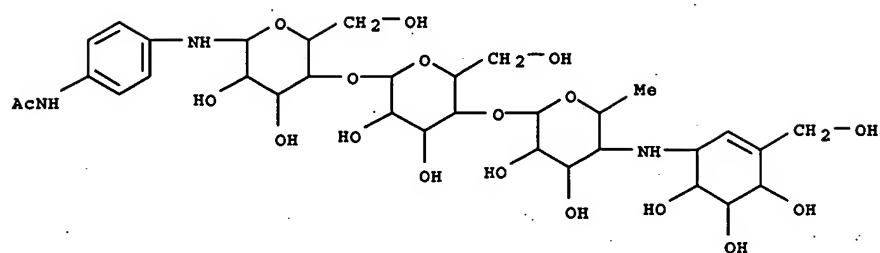
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B. Junge et. al. DE-2658562 p31

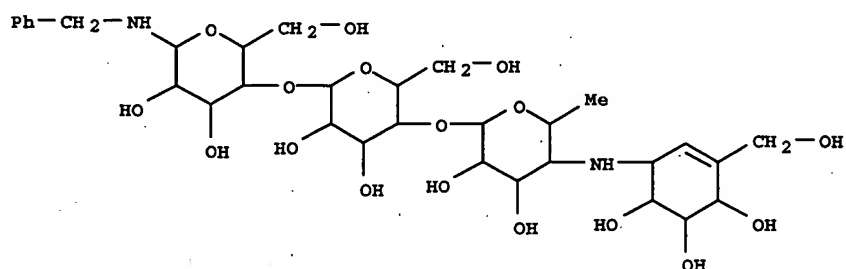


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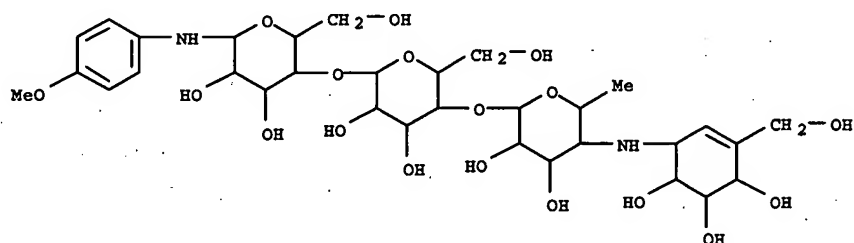
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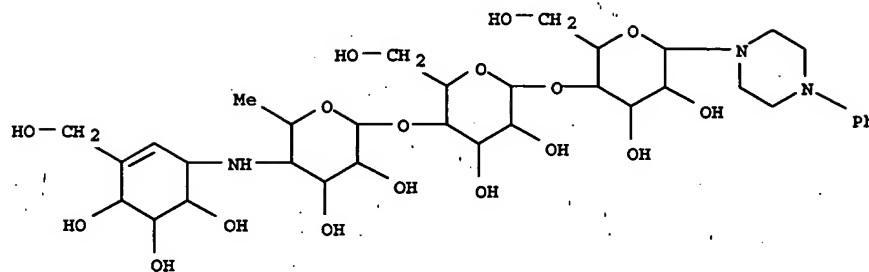
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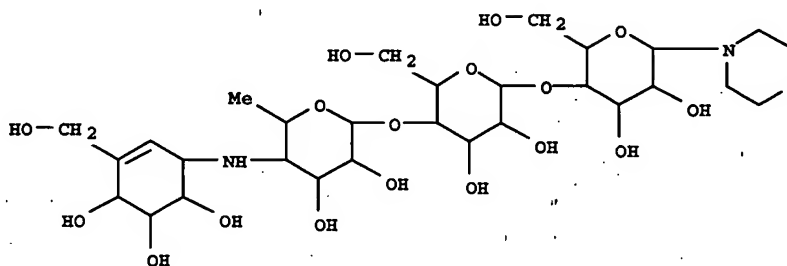
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RN-68107-54-0



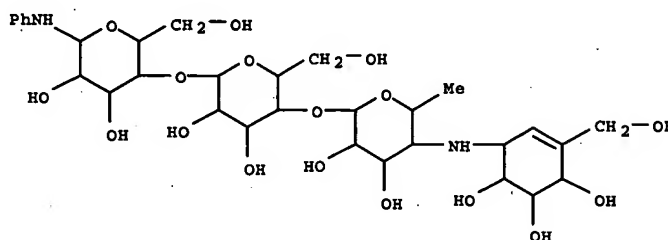
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RN-68107-52-8



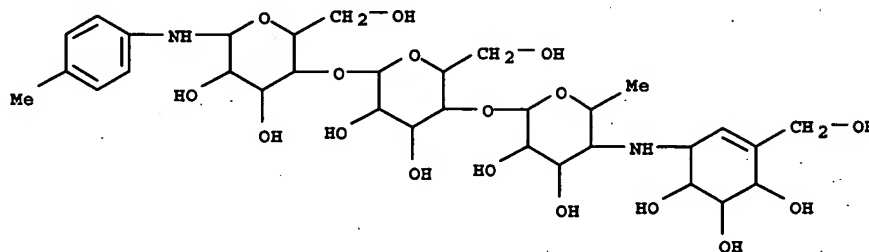
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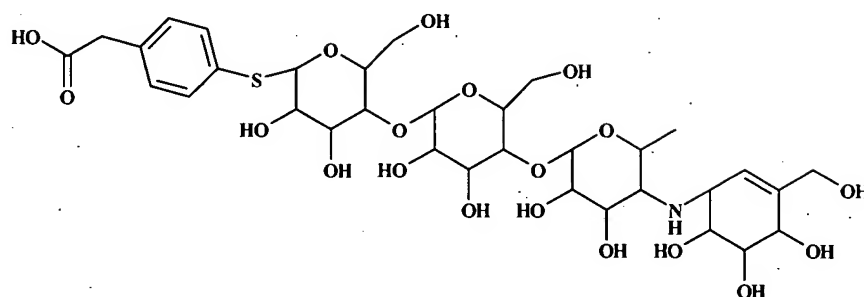
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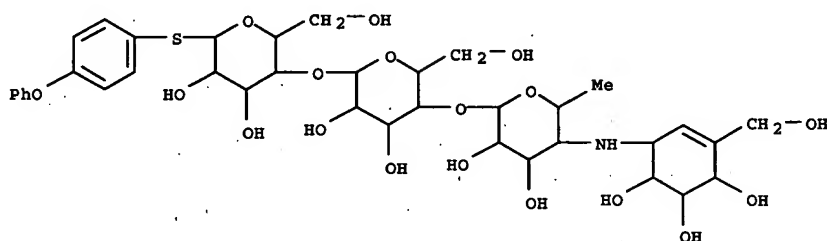
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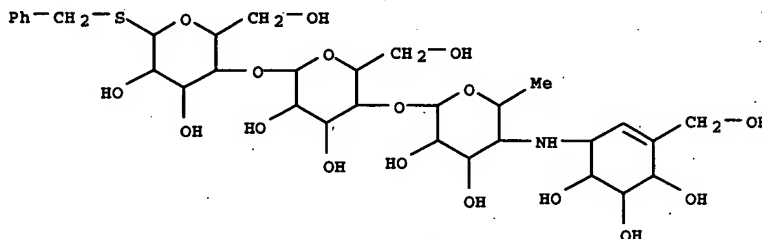
B. Junge et. al. DE-2658562

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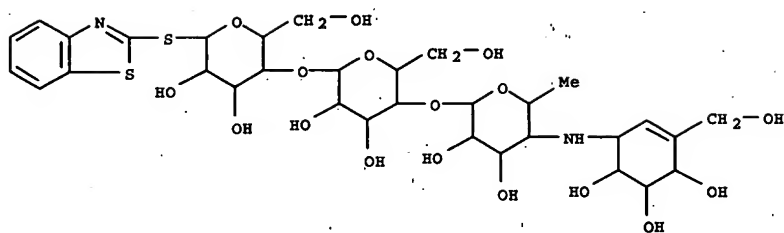
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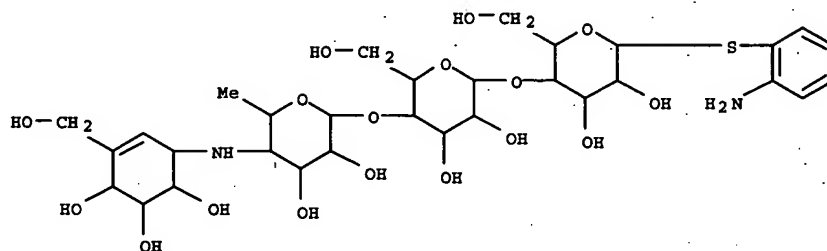
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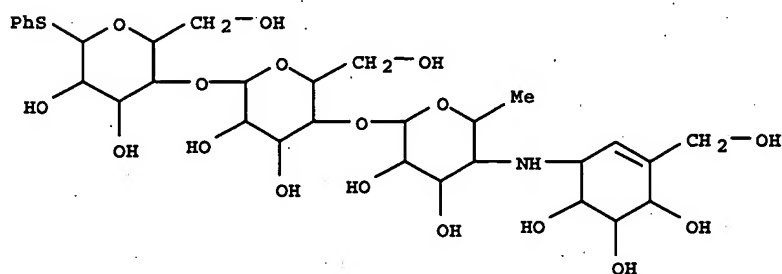
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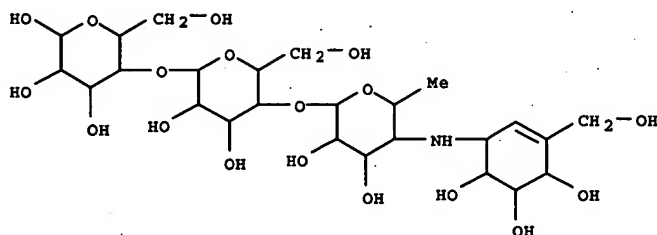
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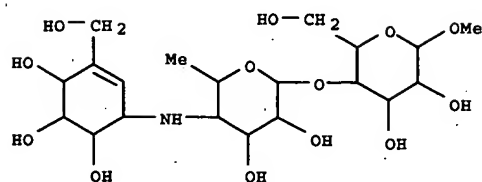
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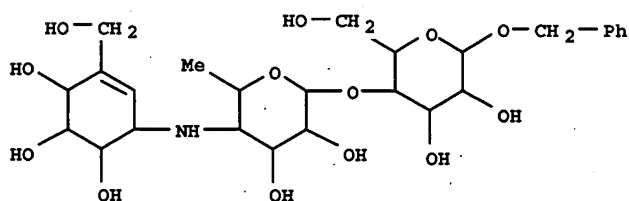
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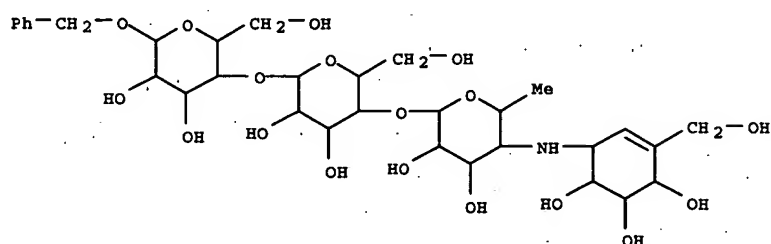
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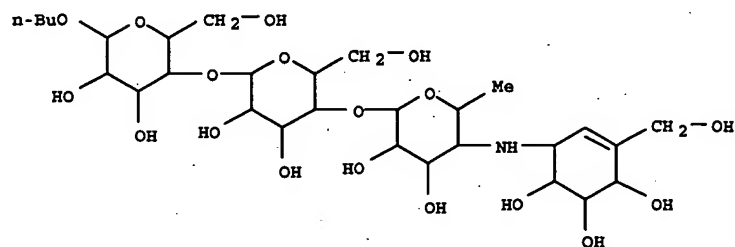
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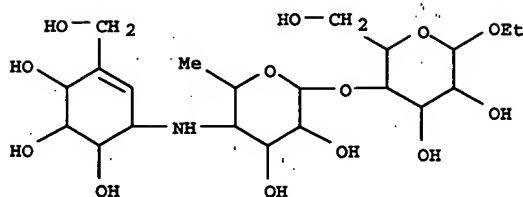
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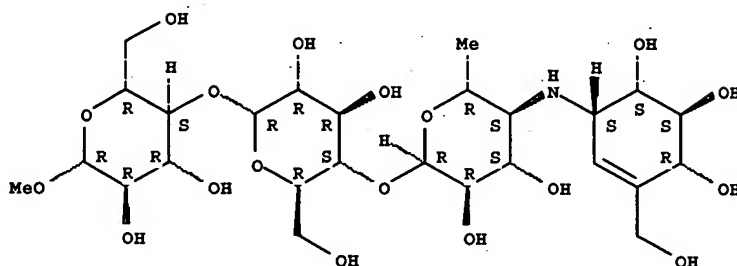
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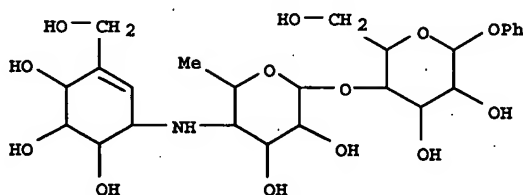
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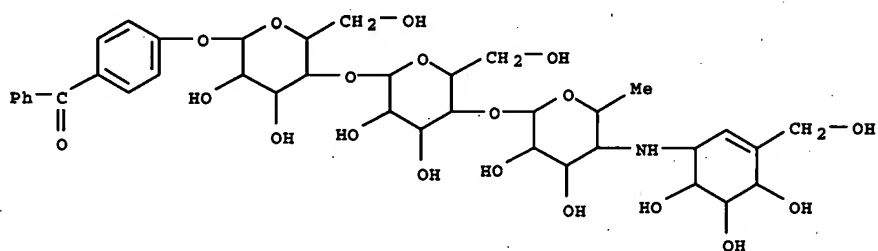
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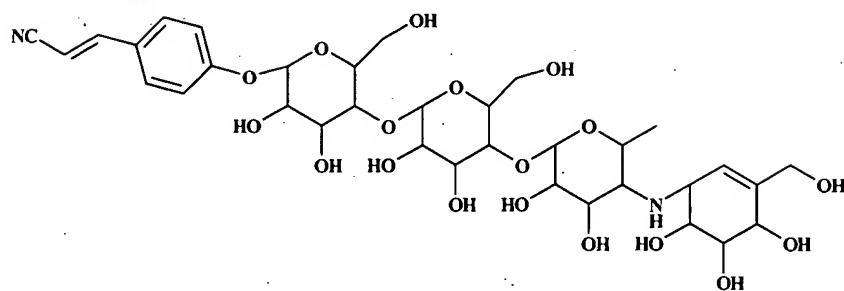
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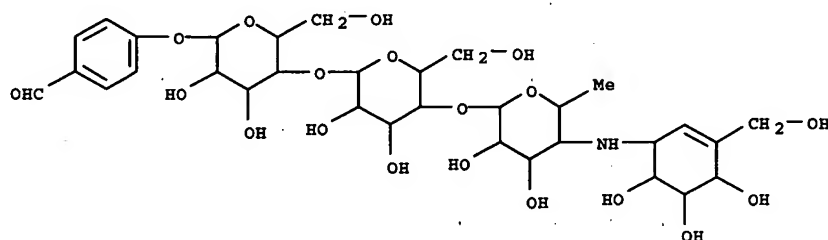
B. Junge et. al. DE-2658562 p70

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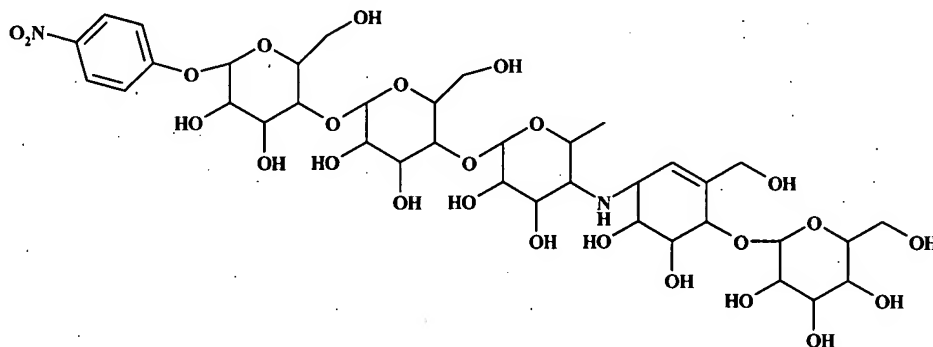
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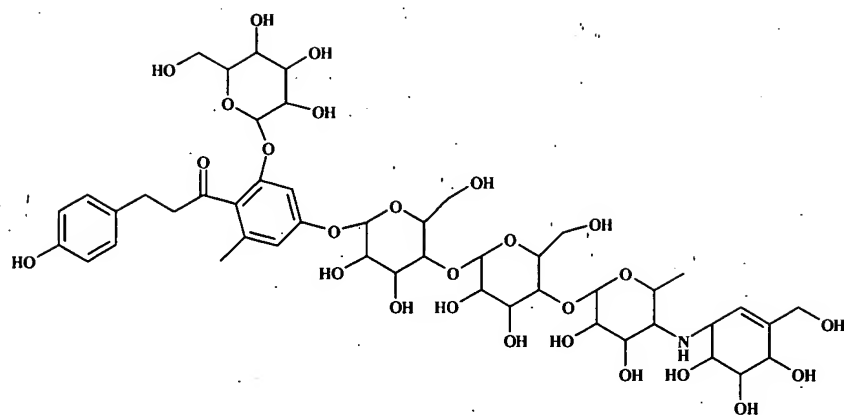
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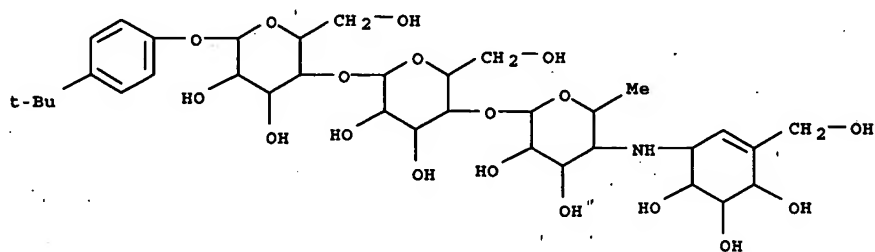
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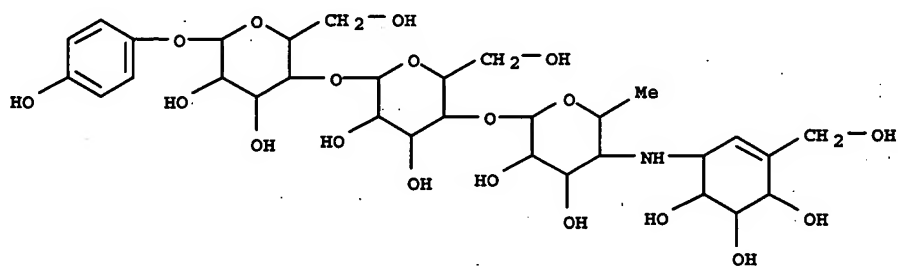
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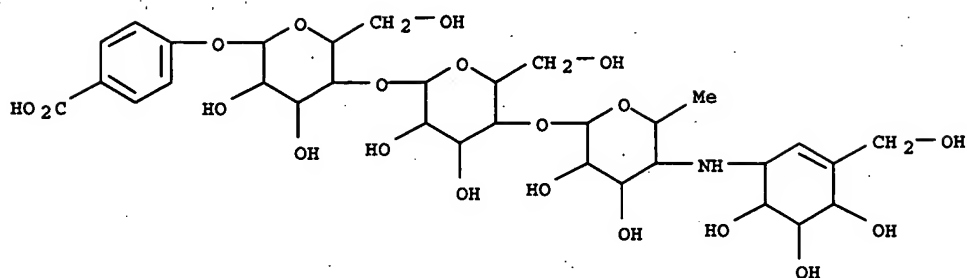
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B. Junge et. al. DE-2658562 p56



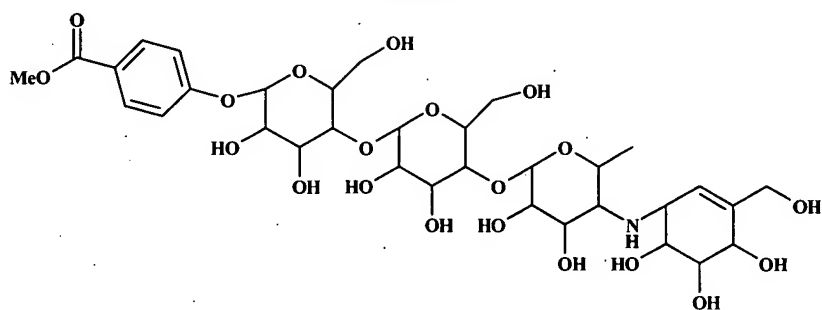
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B. Junge et. al. DE-2658562 p56

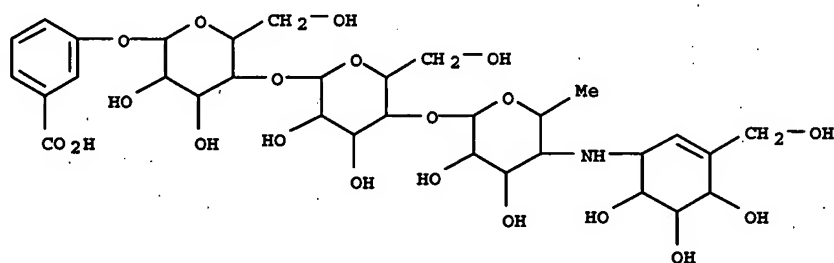
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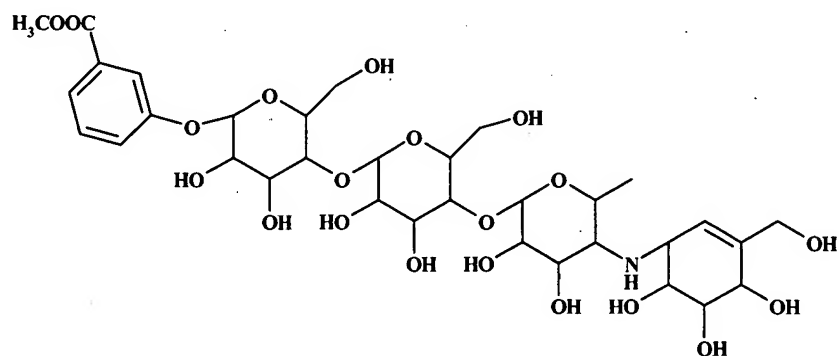
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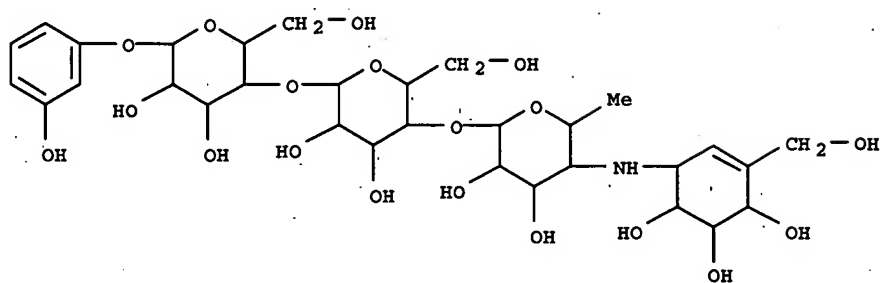
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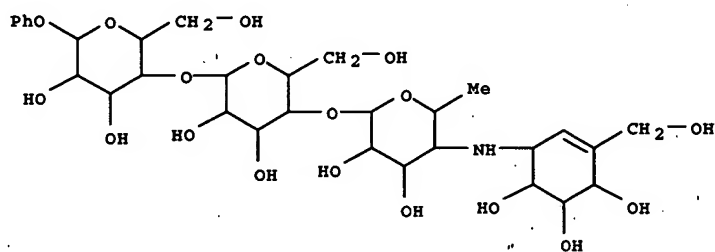
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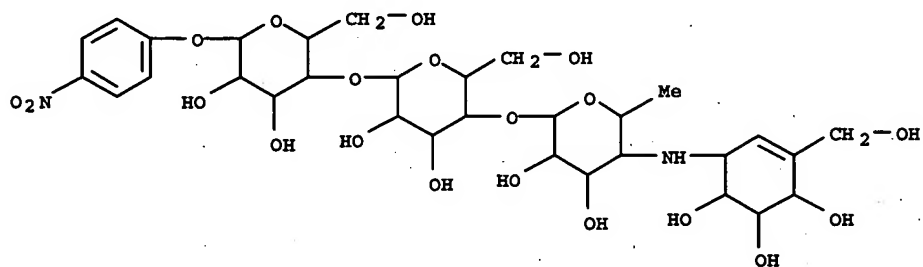
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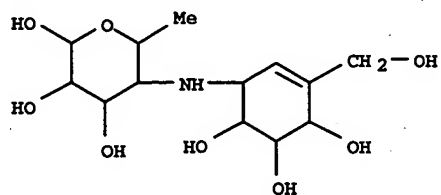
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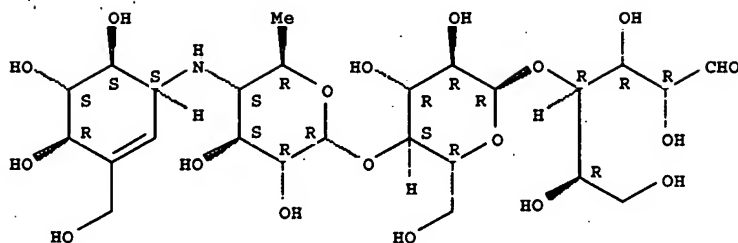


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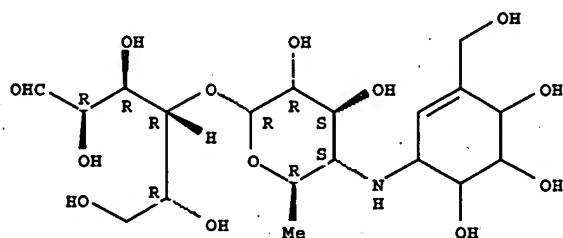


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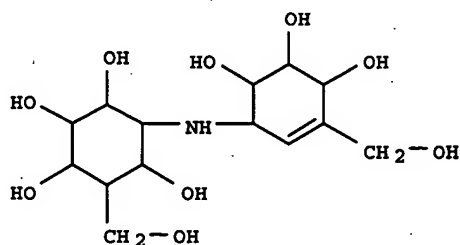
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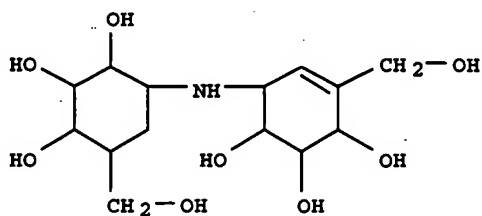
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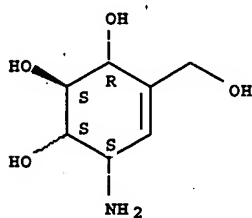
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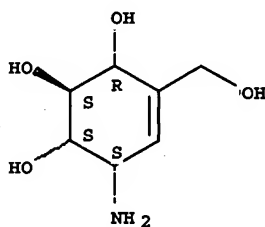
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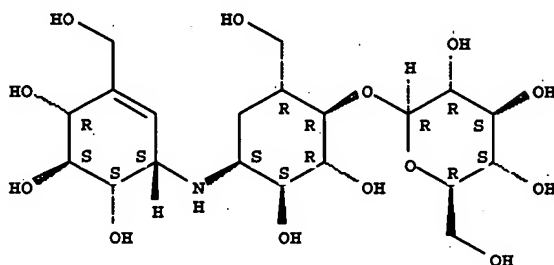
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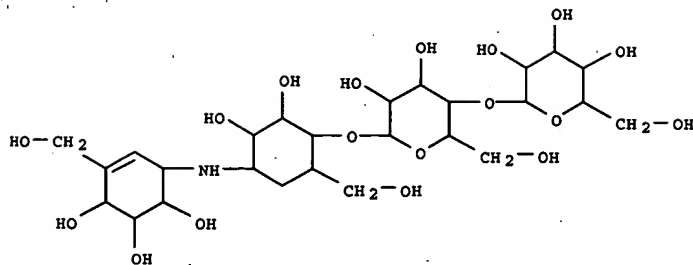
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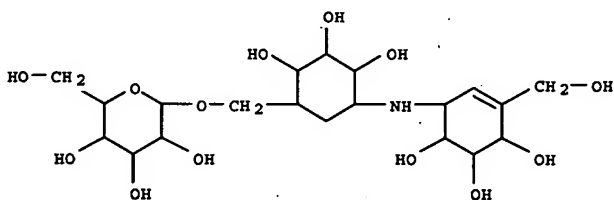
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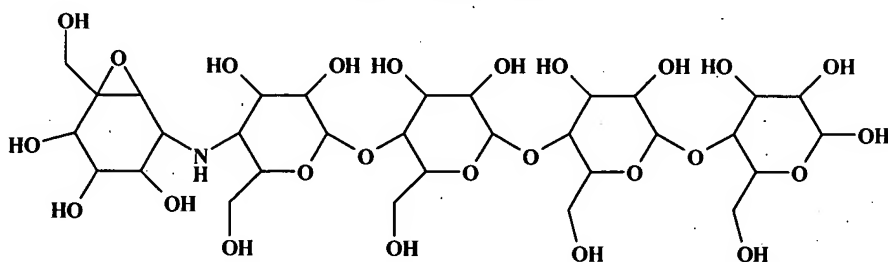
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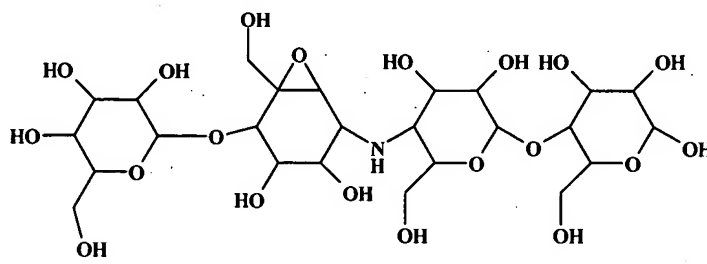
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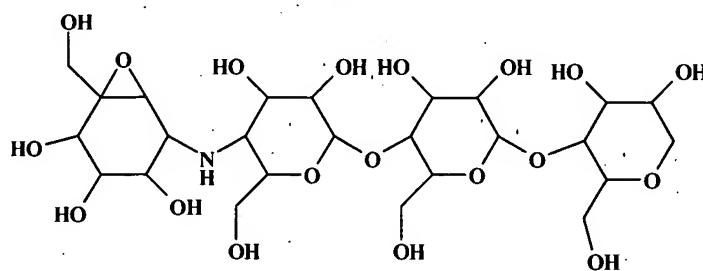
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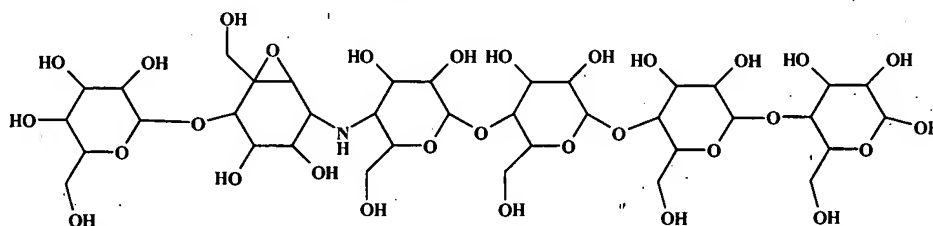
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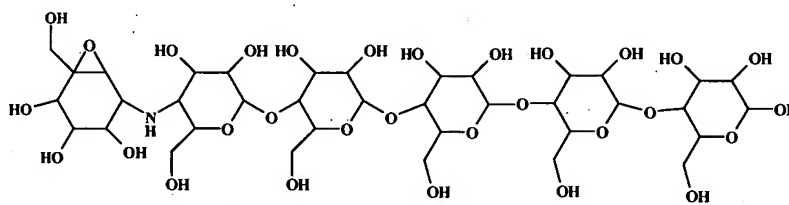
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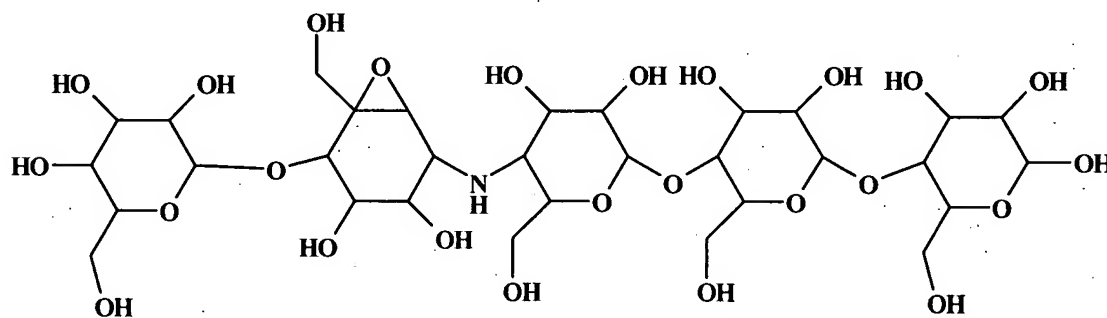
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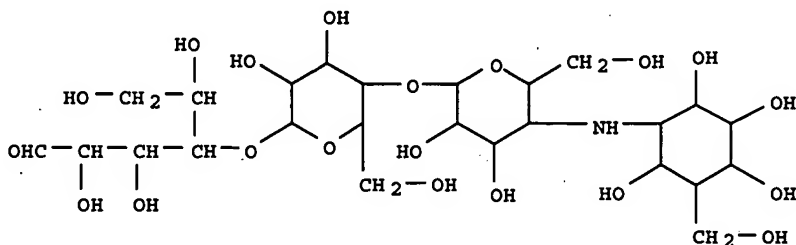
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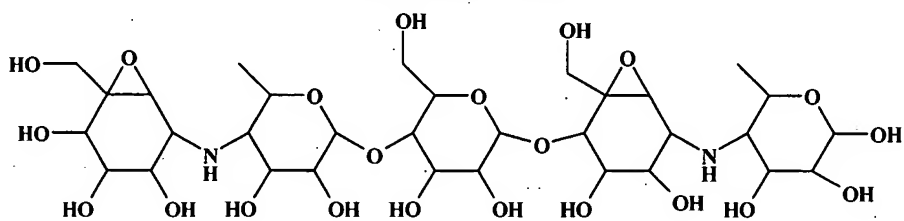
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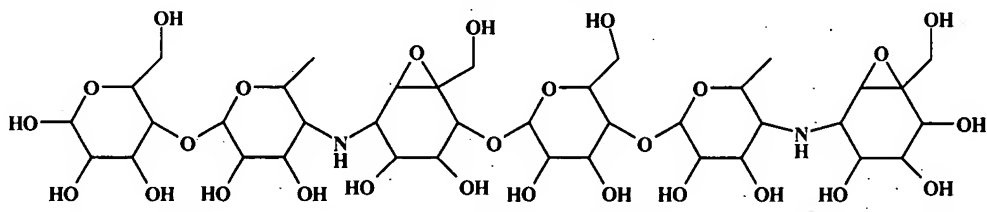
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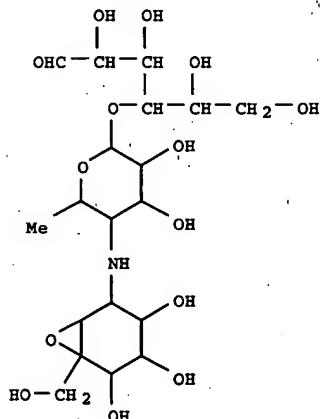
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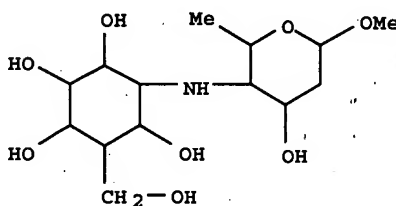
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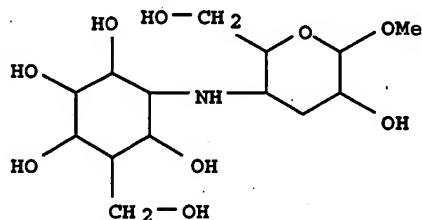
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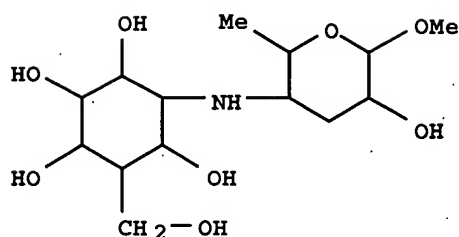
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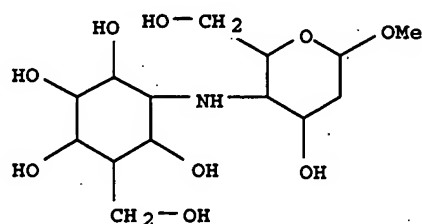
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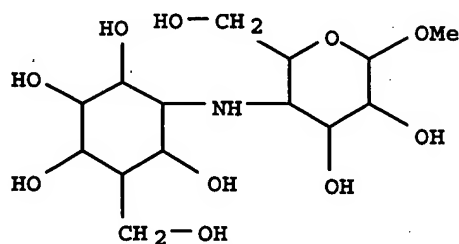
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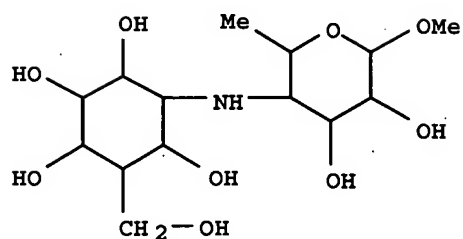
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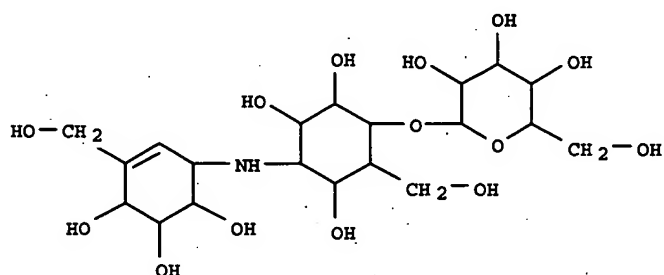
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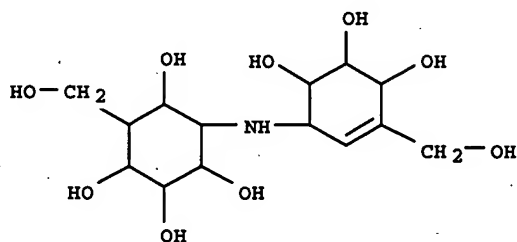
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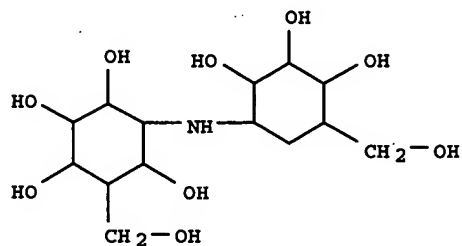
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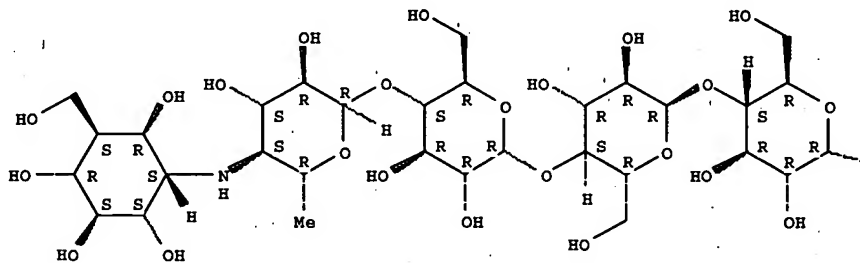
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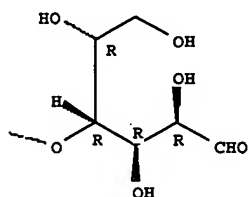
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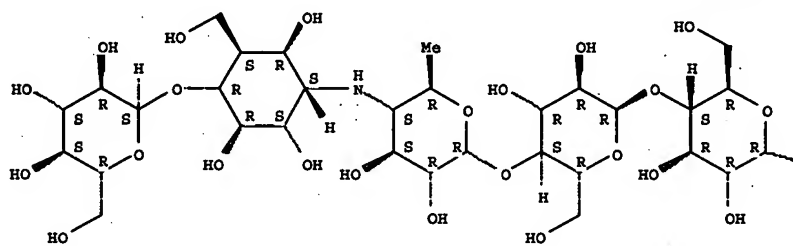
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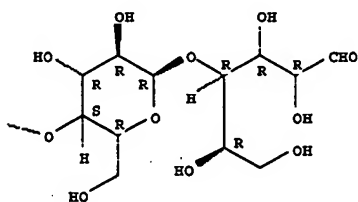
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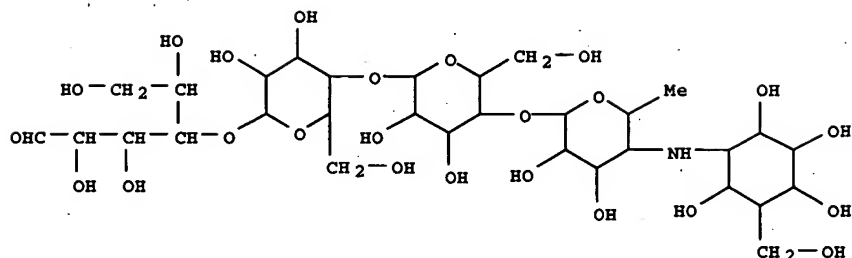


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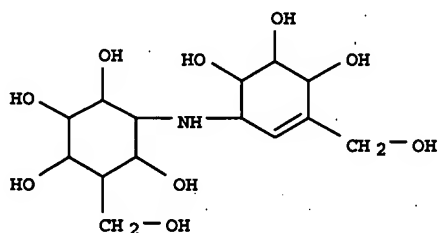
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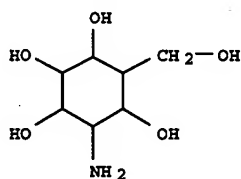
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By "acarbose and the higher homologues thereof" is meant the amylostatins of the formula given below, and mentioned generically and specifically in British Patent No. GB 1,482,543; U.S. Patent 4,175,123; and in *Agric. Biol. Chem.*, 46 (7), 1941-1945, 1982, all of which are hereby incorporated by reference in their entirety.